EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
S1	1	("6150394").PN.	USPAT; USOCR	OR	OFF	2007/06/06 13:11
S2	1	("5712300").PN.	USPAT; USOCR	OR	OFF	2007/06/06 13:28
S3	1	("6218389").PN.	USPAT; USOCR	OR	OFF	2007/06/06 13:28

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FILE 'HOME' ENTERED AT 13:06:50 ON 06 JUN 2007

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:07:01 ON 06 JUN 2007
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 5 JUN 2007 HIGHEST RN 936615-27-9
DICTIONARY FILE UPDATES: 5 JUN 2007 HIGHEST RN 936615-27-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

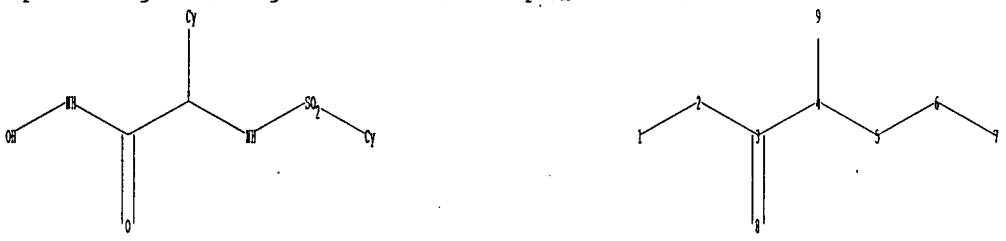
TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10509972s.str



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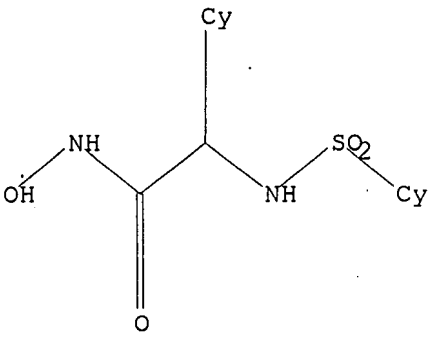
chain bonds :
1-2 2-3 3-4 3-8 4-5 4-9 5-6 6-7
exact/norm bonds :
2-3 3-8 4-5 4-9 5-6 6-7
exact bonds :
1-2 3-4

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:Atom 8:CLASS 9:Atom

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 13:07:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 226 TO ITERATE

100.0% PROCESSED 226 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 3619 TO 5421
PROJECTED ANSWERS: 6 TO 266

L2 6 SEA SSS SAM L1

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FULL SEARCH INITIATED 13:07:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4658 TO ITERATE

100.0% PROCESSED 4658 ITERATIONS 100 ANSWERS
SEARCH TIME: 00.00.01

L3 100 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 172.10 172.31

FILE 'CAPLUS' ENTERED AT 13:07:20 ON 06 JUN 2007

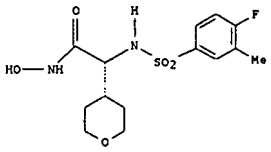
FILE COVERS 1907 - 6 Jun 2007 VOL 146 ISS 24
FILE LAST UPDATED: 5 Jun 2007 (20070605/ED)

=> s l3
L4 23 L3

=> d ibib abs hitstr tot
THE ESTIMATED COST FOR THIS REQUEST IS 121.21 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L4 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:16626 CAPLUS
DOCUMENT NUMBER: 144:184022
TITLE: The discovery of a potent and selective lethal factor inhibitor for adjunct therapy of anthrax infection
AUTHOR(S): Xiong, Yusheng; Wiltsie, Judyann; Woods, Andrea; Guo, Jian; Pivnichny, James V.; Tang, Wei; Bansal, Alka; Cummings, Richard T.; Cunningham, Barry R.; Friedlander, Arthur M.; Douglas, Cameron M.; Salowe, Scott P.; Zaller, Dennis M.; Scolnick, Edward M.; Schmatz, Dennis M.; Bartizal, Kenneth; Hermes, Jeffrey D.; MacCoss, Malcolm; Chapman, Kevin T.
CORPORATE SOURCE: Merck Research laboratories, Rahway, NJ, 07065, USA
SOURCE: Bioorg. Med. Chem. Lett. (2006), 16(4), 964-968
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:184022
GI



I

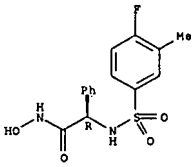
AB A potent and selective anthrax LF inhibitor (I) , was identified through SAR study of a high throughput screen lead. It has an IC50 of 54 nM in the enzyme assay and an IC50 of 210 nM in the macrophage cytotoxicity assay. I is also effective in vivo in several animal model studies and is orally bioavailable in dogs and rhesus monkey. In combination with ciprofloxacin I significantly increases the survival rate of mice and rabbits treated with anthrax. I is even effective prophylactically in preventing anthrax infection in spore challenges without antibiotics.

IT 629670-72-0
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(potent and selective lethal factor inhibitor for adjunct therapy of anthrax infection)

RN 629670-72-0 CAPLUS
CN 2H-Pyran-4-acetamide, α-[[(4-fluoro-3-methylphenyl)sulfonyl]amino]tetrahydro-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

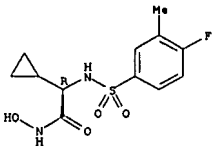
Absolute stereochemistry.

L4 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



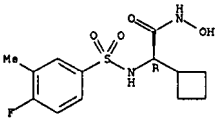
RN 629670-96-8 CAPLUS
CN Cyclopropaneacetamide, α-[[(4-fluoro-3-methylphenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 874978-85-5 CAPLUS
CN Cyclobutaneacetamide, α-[[(4-fluoro-3-methylphenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

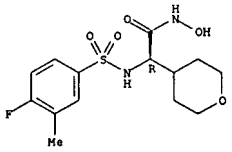
Absolute stereochemistry.



RN 874978-88-8 CAPLUS
CN 3-Furanacetamide, α-[[(4-fluoro-3-methylphenyl)sulfonyl]amino]tetrahydro-N-hydroxy-, (αR,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

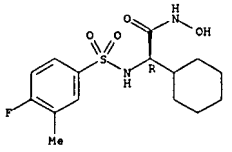
L4 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 629670-84-4 629670-85-5 629670-86-6
629670-96-8 874978-85-5 874978-88-8
874978-92-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(potent and selective lethal factor inhibitor for adjunct therapy of anthrax infection)

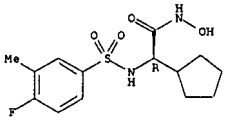
RN 629670-84-4 CAPLUS
CN Cyclohexaneacetamide, α-[[(4-fluoro-3-methylphenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 629670-85-5 CAPLUS
CN Cyclopentaneacetamide, α-[[(4-fluoro-3-methylphenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

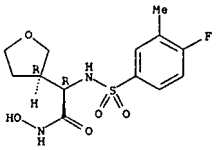
Absolute stereochemistry.



RN 629670-86-6 CAPLUS
CN Benzeneacetamide, α-[[(4-fluoro-3-methylphenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

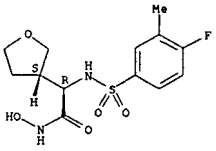
Absolute stereochemistry.

L4 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 874978-92-4 CAPLUS
CN 3-Furanacetamide, α-[[(4-fluoro-3-methylphenyl)sulfonyl]amino]tetrahydro-N-hydroxy-, (αR,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1314034 CAPLUS
DOCUMENT NUMBER: 144:51892
TITLE: Process for making N-sulfonated amino acid derivatives
INVENTOR(S): Dreher, Spencer D.; Ikemoto, Norihiro; Shultz, C. Scott; Williams, J. Michael
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005118529	A2	20051215	WO 2005-US15770	20050506
WO 2005118529	A3	20060216		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, MR, NE, SN, TD, TG				
AU 2005250351	A1	20051215	AU 2005-250351	20050506
CA 2566191	A1	20051215	CA 2005-2566191	20050506
EP 1747212	A2	20070131	EP 2005-804807	20050506
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1950354	A	20070418	CN 2005-80014939	20050506
PRIORITY APPLN. INFO.:			US 2004-569997P P	20040511
			WO 2005-US15770 W	20050506

OTHER SOURCE(S): CASREACT 144:51892; MARPAT 144:51892

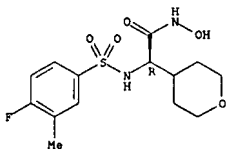
AB The invention relates to a process for preparing optically active α-amino acid substrates R3-Z-NHCH(CHR1R2)CO-Y [R1, R2 are independently H, (un)substituted alkyl or aryl or combined form a ring; R3 is (CH2)0-4-aryl, -heteroaryl or -heterocyclyl or O(CH2)0-4-heterocyclyl; Z is CO or SO2; Y is OH, alkoxy or NHOH] or their pharmaceutically-acceptable salts, in vivo hydrolyzable esters, etc., which are used to make potent lethal factor (LF) inhibitors for the treatment of anthrax. The amino acids were obtained by a novel, high-yielding and highly enantioselective asym. hydrogenation reaction of a tetrasubstituted ene-sulfonamide acid or ester. Thus, hydrogenation of sulfonenamide acid 3,4-MeFC6H3SO2NHC(:CR1R2)CO2H (:CR1R2 = tetrahydropyran-4-ylidene) over [(R)-(S)-[(diphenylphosphino)ferrocenylethyldi-tert-butylphosphine]RuCl(p-cymene)]Cl (synthesis of substrate and catalyst described) afforded the (R)-sulfonamide acid in 97.2% yield and enantiomeric excess 96.9%.

IT 629670-72-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of N-sulfonated amino acids via hydrogenation of dehydro derivs.)

RN 629670-72-0 CAPLUS
CN 2H-Pyran-4-acetamide, α-[[(4-fluoro-3-methylphenyl)sulfonyl]amino]tetrahydro-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:499096 CAPLUS
DOCUMENT NUMBER: 143:188942
TITLE: Anthrax lethal factor inhibition
AUTHOR(S): Shoop, W. L.; Xiong, Y.; Wiltsie, J.; Woods, A.; Guo, J.; Pivnichny, J. V.; Felcetto, T.; Michael, B. F.; Bansal, A.; Cummings, R. T.; Cunningham, B. R.; Friedlander, A. M.; Douglas, C. M.; Patel, S. B.; Wisniewski, D.; Scapin, G.; Salowe, S. P.; Zaller, D. M.; Chapman, K. T.; Scolnick, E. M.; Schmatz, D. M.; Bartizal, K.; MacCoss, M.; Hermes, J. D.
CORPORATE SOURCE: Merck Research Laboratories, Rahway, NJ, 07065, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (2005), 102(22), 7958-7963
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English

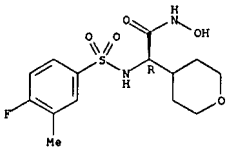
AB The primary virulence factor of Bacillus anthracis is a secreted zinc-dependent metalloprotease toxin known as lethal factor (LF) that is lethal to the host through disruption of signaling pathways, cell destruction, and circulatory shock. Inhibition of this proteolytic-based LF toxemia could be expected to provide therapeutic value in combination with an antibiotic during and immediately after an active anthrax infection. Herein is shown the crystal structure of an intimate complex between a hydroxamate, (2R)-2-[(4-fluoro-3-methylphenyl)sulfonylamino]-N-hydroxy-2-(tetrahydro-2H-pyran-4-yl)acetamide, and LF at the LF-active site. Most importantly, this mol. interaction between the hydroxamate and the LF active site resulted in (i) inhibited LF protease activity in an enzyme assay and protected macrophages against recombinant LF and protective antigen in a cell-based assay, (ii) 100% protection in a lethal mouse toxemia model against recombinant LF and protective antigen, (iii) ≈50% survival advantage to mice given a lethal challenge of B. anthracis Sterne vegetative cells and to rabbits given a lethal challenge of B. anthracis Ames spores and doubled the mean time to death in those that died in both species, and (iv) 100% protection against B. anthracis spore challenge when used in combination therapy with ciprofloxacin in a rabbit point of no return model for which ciprofloxacin alone provided 50% protection. These results indicate that a small mol., hydroxamate LF inhibitor, as revealed herein, can ameliorate the toxemia characteristic of an active B. anthracis infection and could be a vital adjunct to our ability to combat anthrax.

IT 629670-72-0D, complexes with anthrax lethal factor
RL: PRP (Properties)
(crystal structure; anthrax lethal factor inhibition by hydroxamate inhibitor and relevance for treatment of anthrax)

RN 629670-72-0 CAPLUS
CN 2H-Pyran-4-acetamide, α-[[(4-fluoro-3-methylphenyl)sulfonyl]amino]tetrahydro-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

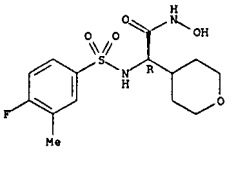
L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 629670-72-0
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibitor; anthrax lethal factor inhibition by hydroxamate inhibitor and relevance for treatment of anthrax)

RN 629670-72-0 CAPLUS
CN 2H-Pyran-4-acetamide, α-[[(4-fluoro-3-methylphenyl)sulfonyl]amino]tetrahydro-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



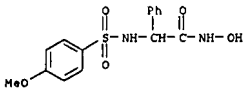
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:396085 CAPLUS
DOCUMENT NUMBER: 143:97086
TITLE: Improved solution- and solid-phase preparation of hydroxamic acids from esters
AUTHOR(S): Ho, Chih Y.; Strobel, Eric; Ralbovsky, Janet; Galemmo, Robert A., Jr.
CORPORATE SOURCE: Oncology Team, Drug Discovery, Johnson & Johnson Pharmaceutical Research and Development, Spring House, PA, 19446-0776, USA
SOURCE: Journal of Organic Chemistry (2005), 70(12), 4873-4875
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:97086

AB The addition of small amts. of solid KCN to carboxylic esters, either solid-supported or in solution, in THF/MeOH/NH2OH increased the efficiency of their transformation to the corresponding hydroxamic acids.

IT 856118-73-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase preparation of hydroxamic acids via esterification of carboxylic acids with HMBA-AM resin followed by N-sulfonylation with methoxyphenylsulfonyl chloride, hydroxyamination, and resin cleavage)

RN 856118-73-5 CAPLUS
CN Benzeneacetamide, N-hydroxy-α-[[(4-methoxyphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

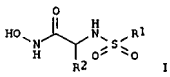


REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:971838 CAPLUS
DOCUMENT NUMBER: 140:16963
TITLE: Compounds useful in the treatment of anthrax and inhibiting lethal factor
INVENTOR(S): Xiong, Yusheng; Chapman, Kevin; Singh, Suresh; Guo, Jian; Patchett, Arthur A.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101382	A2	20031211	WO 2003-US16336	20030523
WO 2003101382	A3	20040729		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487727	A1	20031211	CA 2003-2487727	20030523
AU 2003239599	A1	20031219	AU 2003-239599	20030523
EP 1511472	A2	20050309	EP 2003-734152	20030523
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005148629	A1	20050707	US 2003-509972	20030523
CN 1655773	A	20050817	CN 2003-812043	20030523
JP 2005534643	T	20051117	JP 2004-508740	20030523
RU 2289575	C2	20061220	RU 2004-138595	20030523
BR 2003011136	A	20070427	BR 2003-11136	20030523
NO 2004005630	A	20050210	NO 2004-5630	20041223

PRIORITY APPLN. INFO.: US 2002-383996P P 20020529
WO 2003-US16336 W 20030523
OTHER SOURCE(S): CASREACT 140:16963; MARPAT 140:16963
GI



I

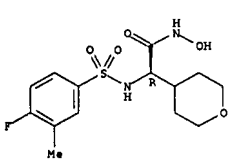
AB Sulfonamides I [R1 = aryl, heteroaryl, or heterocyclic optionally substituted with 1 to 3 groups of R3 [R3 = OH, alkyl, halogen, arylalkyl, alkoxy, alkoxyalkyl, haloalkyl, nitro, amino, alkylamino, acylamino, acyloxy, carboxy, (alkyl)carbamoyl, alkoxycarbonyl, aryloxycarbonyl, ureido, guanidino, sulfonylamino, aminosulfonyl, alkylthio, alkylsulfinyl,

L4 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
alkylsulfonyl, heterocyclyl, heterocyclylalkyl]; R2 = alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, or heterocyclyl optionally substituted with 1 to 3 groups of R3, or with groups selected from aryl, heterocyclyl, alkylthio, cyano, heteroaryl, guanidino, ((1-aminoethyl)carbonyl)amino, ((aminomethyl)carbonyl)amino, ((2-amino)prop-2-yl), carbonylamino, acetamido, 4-(aminomethyl)phenyl, thio, t-Bu sulfonyl, alkenylthio, alkynylthio, amino, alkylamino, arylthio, heterocyclylthio, alkoxy, arylalkoxy, arylalkylthio, cycloalkyl, cycloalkenyl, carboxy, hydroxy and halogen] or pharmaceutically acceptable salts, enantiomers or diastereomers were prepd. for treating anthrax and inhibiting lethal factor. Thus, N-hydroxy-2(R)-[(4-fluoro-3-methylphenylsulfonyl)]amino-3-methylbutyramide was prepd. by coupling of D-valine and 4-fluoro-3-methylphenyl-sulfonylchloride, followed by treatment with O-t-butylhydroxylamine hydrochloride, and showed the lethal factor activity in enzyme binding assay IC50 = 0.13 uM.

IT 629670-72-0P 629670-84-4P 629670-85-5P
629670-86-6P 629670-96-8P 629671-05-2P
629671-12-1P 629671-13-2P 629671-21-2P
629671-26-7P 629671-27-8P 629671-29-0P
629671-33-6P 629671-35-8P 629671-39-2P
629671-43-8P 629671-45-0P 629671-47-2P
629671-53-0P 629671-54-1P 629671-55-2P
629671-60-9P 629671-73-4P 629671-84-7P
629671-86-9P 629671-95-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamides for treatment of anthrax and for lethal factor inhibiting)

RN 629670-72-0 CAPLUS
CN 2H-Pyran-4-acetamide, α-[[(4-fluoro-3-methylphenyl)sulfonyl]amino]tetrahydro-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

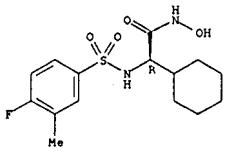
Absolute stereochemistry.



RN 629670-84-4 CAPLUS
CN Cyclohexaneacetamide, α-[[(4-fluoro-3-methylphenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

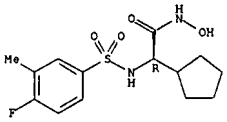
Absolute stereochemistry.

L4 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



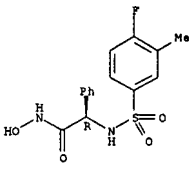
RN 629670-85-5 CAPLUS
CN Cyclopentaneacetamide, α-[[(4-fluoro-3-methylphenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



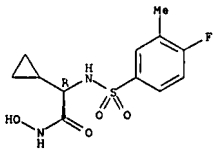
RN 629670-86-6 CAPLUS
CN Benzeneacetamide, α-[[(4-fluoro-3-methylphenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



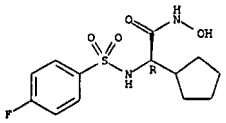
RN 629670-96-8 CAPLUS
CN Cyclopropaneacetamide, α-[[(4-fluoro-3-methylphenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



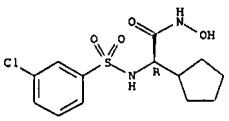
RN 629671-05-2 CAPLUS
CN Cyclopentaneacetamide, α-[[(4-fluorophenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



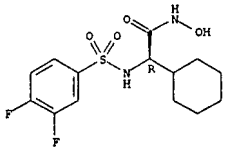
RN 629671-12-1 CAPLUS
CN Cyclopentaneacetamide, α-[[(3-chlorophenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



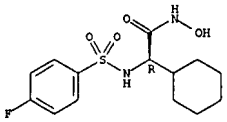
RN 629671-13-2 CAPLUS
CN Cyclohexaneacetamide, α-[[(3,4-difluorophenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



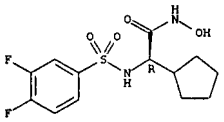
RN 629671-21-2 CAPLUS
CN Cyclohexaneacetamide, α-[[(4-fluorophenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



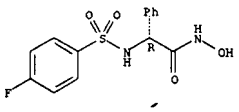
RN 629671-26-7 CAPLUS
CN Cyclopentaneacetamide, α-[[(3,4-difluorophenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



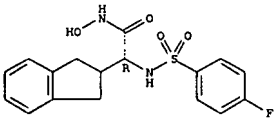
RN 629671-27-8 CAPLUS
CN Benzeneacetamide, α-[[(4-fluorophenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



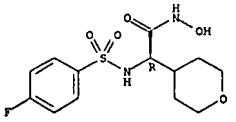
RN 629671-29-0 CAPLUS
CN 1H-Indene-2-acetamide, α-[[(4-fluorophenyl)sulfonyl]amino]-2,3-dihydro-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



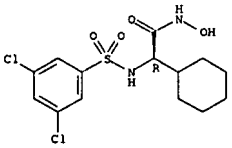
RN 629671-33-6 CAPLUS
CN 2H-Pyran-4-acetamide, α-[[(4-fluorophenyl)sulfonyl]amino]tetrahydro-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



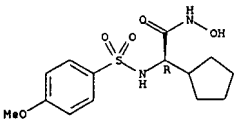
RN 629671-35-8 CAPLUS
CN Cyclohexaneacetamide, α-[[(3,5-dichlorophenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



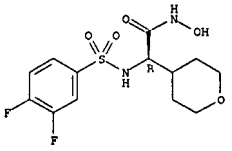
RN 629671-39-2 CAPLUS
CN Cyclopentaneacetamide, N-hydroxy-α-[[(4-methoxyphenyl)sulfonyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



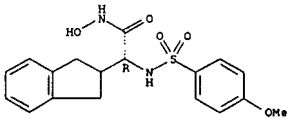
RN 629671-43-8 CAPLUS
CN 2H-Pyran-4-acetamide, α-[[(3,4-difluorophenyl)sulfonyl]amino]tetrahydro-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 629671-45-0 CAPLUS
CN 1H-Indene-2-acetamide, 2,3-dihydro-N-hydroxy-α-[[(4-methoxyphenyl)sulfonyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

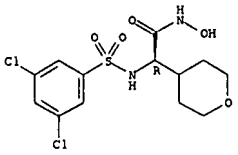
Absolute stereochemistry.



RN 629671-47-2 CAPLUS
CN 2H-Pyran-4-acetamide, α-[[(3,5-dichlorophenyl)sulfonyl]amino]tetrahydro-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

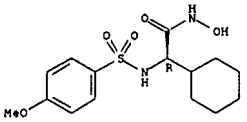
Absolute stereochemistry.

L4 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



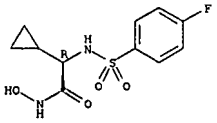
RN 629671-53-0 CAPLUS
CN Cyclohexaneacetamide, N-hydroxy-α-[[(4-methoxyphenyl)sulfonyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



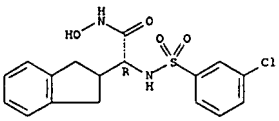
RN 629671-54-1 CAPLUS
CN Cyclopropaneacetamide, α-[[(4-fluorophenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

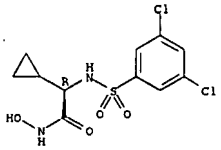


RN 629671-55-2 CAPLUS
CN 1H-Indene-2-acetamide, α-[[(3-chlorophenyl)sulfonyl]amino]-2,3-dihydro-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

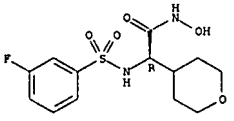


L4 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 629671-95-0 CAPLUS
CN 2H-Pyran-4-acetamide, α-[[(3-fluorophenyl)sulfonyl]amino]tetrahydro-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

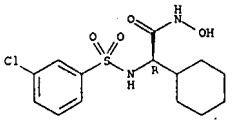
Absolute stereochemistry.



L4 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

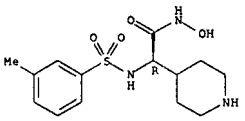
RN 629671-60-9 CAPLUS
CN Cyclohexaneacetamide, α-[[(3-chlorophenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



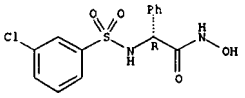
RN 629671-73-4 CAPLUS
CN 4-Piperidineacetamide, N-hydroxy-α-[[(3-methylphenyl)sulfonyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 629671-84-7 CAPLUS
CN Benzeneacetamide, α-[[(3-chlorophenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 629671-86-9 CAPLUS
CN Cyclopropaneacetamide, α-[[(3,5-dichlorophenyl)sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

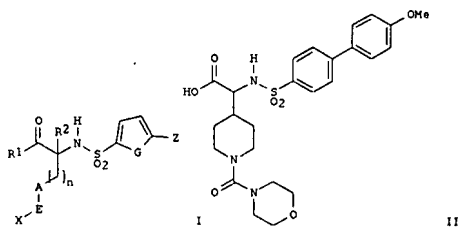
L4 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:717760 CAPLUS
DOCUMENT NUMBER: 139:245903
TITLE: Preparation of [(hetero)arylsulfonylamino]-[1-substituted-piperidin-4-yl]-acetic acids as metalloprotease inhibitors
INVENTOR(S): Pikul, Stanislaw; Ohler, Norman Eugene; Almstead, Neil Gregory; Laughlin, Steven Karl; Natchus, Michael George; De, Biswanath
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of Appl. PCT/US01/08783.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003171400	A1	20030911	US 2002-246201	20020918
WO 2001070690	A1	20010927	WO 2001-US8783	20010320

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-191303P P 20000321
WO 2001-US8783 A2 20010320
OTHER SOURCE(S): MARPAT 139:245903
GI



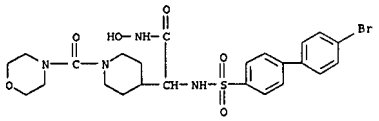
I II

AB The title compds. [I; R1 = OH, NHOH; R2 = H, alkyl, haloalkyl, etc.; A = (un)substituted monocyclic heterocycloalkyl; A can be connected to R2 to form (un)substituted monocyclic heterocycloalkyl; n = 0-4; E = a bond,

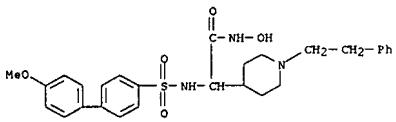
L4 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
alkyl, CO, etc.; X = H, alkyl, aryl, etc.; G = S, O, N:N, etc.; Z = cycloalkyl, heterocycloalkyl, etc.) such as II which are inhibitors of metalloproteases and which are effective in treating conditions characterized by excess activity of these enzymes such as arthritis and cancer, were claimed and formulated (prepns. are given but no data are given for intermediates and final compds.).

IT 597582-84-8P, 2-[[(4'-Bromobiphenyl-4-yl)sulfonyl]amino]-N-hydroxy-2-[1-[(morpholin-4-yl)carbonyl]piperidin-4-yl]acetamide 597582-85-9P, N-Hydroxy-2-[[(4'-methoxybiphenyl-4-yl)sulfonyl]amino]-2-(1-phenethylpiperidin-4-yl)acetamide 597582-86-0P, N-Hydroxy-2-[[(4'-methoxybiphenyl-4-yl)sulfonyl]amino]-2-[1-[(thiazol-2-yl)methyl]piperidin-4-yl]acetamide 597582-87-1P, N-Hydroxy-2-[[(4'-methoxybiphenyl-4-yl)sulfonyl]amino]-2-[1-(2-phenoxyacetyl)piperidin-4-yl]acetamide 597582-88-2P, N-Hydroxy-2-[1-(2-methoxyacetyl)piperidin-4-yl]-2-[[(4'-methoxybiphenyl-4-yl)sulfonyl]amino]acetamide 597582-89-3P, N-Hydroxy-2-[[(4'-methoxybiphenyl-4-yl)sulfonyl]amino]-2-[1-(phenylmethylsulfonyl)piperidin-4-yl]acetamide 597582-90-6P, 4-[(Hydroxycarbamoyl)[(4-phenoxybenzenesulfonyl)amino]methyl]piperidine-1-carboxylic acid tert-butyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of [(hetero)arylsulfonylamino]-[1-substituted-piperidin-4-yl]-acetic acids as metalloprotease inhibitors)

RN 597582-84-8 CAPLUS
CN 4-Piperidineacetamide, α-[[(4'-bromo[1,1'-biphenyl]-4-yl)sulfonyl]amino]-N-hydroxy-1-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)

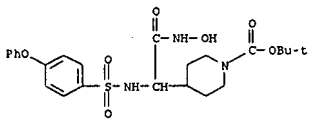


RN 597582-85-9 CAPLUS
CN 4-Piperidineacetamide, N-hydroxy-α-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]amino]-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



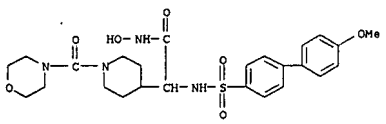
RN 597582-86-0 CAPLUS
CN 4-Piperidineacetamide, N-hydroxy-α-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]amino]-1-(2-thiazolylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
INDEX NAME)

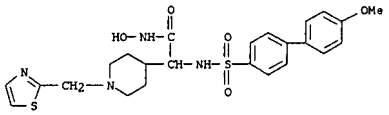


IT 362525-92-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [(hetero)arylsulfonylamino]-[1-substituted-piperidin-4-yl]-acetic acids as metalloprotease inhibitors)

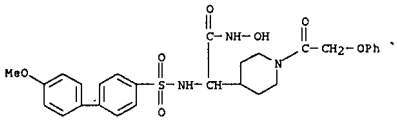
RN 362525-92-6 CAPLUS
CN 4-Piperidineacetamide, N-hydroxy-α-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]amino]-1-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)



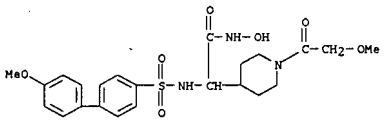
L4 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



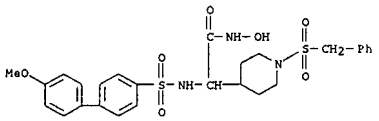
RN 597582-87-1 CAPLUS
CN 4-Piperidineacetamide, N-hydroxy-α-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]amino]-1-(phenoxyacetyl)- (9CI) (CA INDEX NAME)



RN 597582-88-2 CAPLUS
CN 4-Piperidineacetamide, N-hydroxy-1-(methoxyacetyl)-α-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 597582-89-3 CAPLUS
CN 4-Piperidineacetamide, N-hydroxy-α-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]amino]-1-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 597582-90-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-(hydroxyamino)-2-oxo-1-[[(4-phenoxyphenyl)sulfonyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA

L4 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

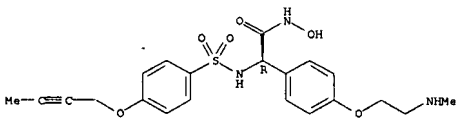
ACCESSION NUMBER: 2003:535082 CAPLUS
DOCUMENT NUMBER: 139:285641
TITLE: Acetylenic TACE inhibitors. Part 1. SAR of the acyclic sulfonamide hydroxamates
AUTHOR(S): Levin, J. I.; Chen, J. M.; Cheung, K.; Cole, D.; Crago, C.; Santos, E. Delos; Du, X.; Khafizova, G.; MacEwan, G.; Niu, C.; Salaski, E. J.; Zask, A.; Cummons, T.; Sung, A.; Xu, J.; Zhang, Y.; Xu, W.; Ayral-Kaloustian, S.; Jin, G.; Cowling, R.; Barone, D.; Mohler, K. M.; Black, R. A.; Skotnicki, J. S.
CORPORATE SOURCE: Wyeth Research, Pearl River, NY, 10965, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(16), 2799-2803
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:285641

AB The SAR of a series of potent sulfonamide hydroxamate TACE inhibitors, all bearing a butynyloxy P1' group, was explored. In particular, one compound has excellent in vitro potency against isolated TACE enzyme and in cells, good selectivity over MMP-1 and MMP-9, and oral activity in an in vivo model of TNF-α production and a collagen-induced arthritis model.

IT 608518-47-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure-activity relationship of acyclic sulfonamide hydroxamates as acetylenic TACE inhibitors)

RN 608518-47-4 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-4-[2-(methylamino)ethoxy]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:491172 CAPLUS
DOCUMENT NUMBER: 139:69520
TITLE: Preparation of N-sulfonyl amino acid hydroxamide derivatives as human ADAM-10 inhibitors
INVENTOR(S): Brown, S. David; Canne, Lynne; Co, Erick W.; Jammalamadaka, Vasu; Khoury, Richard G.; Kim, Moon Hwan; Le, Donna T.; Lew, Amy; Mac, Morrison B.; Mamo, Shumeye; Nuss, John M.; Prisbylla, Michael P.; Xu, Wei
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

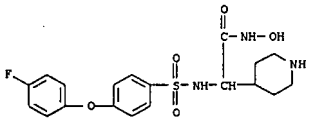
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051825	A1	20030626	WO 2002-US39816	20021213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2473938	A1	20030626	CA 2002-2473938	20021213
AU 2002346724	A1	20030630	AU 2002-346724	20021213
EP 1461313	A1	20040929	EP 2002-784794	20021213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005513065	T	20050512	JP 2003-552713	20021213
US 2005227973	A1	20051013	US 2005-498338	20050511
PRIORITY APPLN. INFO.:			US 2001-340179P P	20011214
			WO 2002-US39816 W	20021213

OTHER SOURCE(S): MARPAT 139:69520

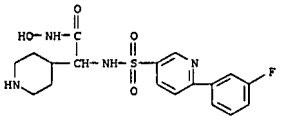
AB The invention provides amino acid derivs. R5SO2NR4CHR3CONR2OR1 [R1 is H, alkyl, alkanoyl, (un)substituted arylalkyl or arylalkanoyl; R2 is any group given for R1 plus alkoxy; R3 is -Z-Q-J, where Z is (un)substituted alk(en)yl, alkoxyalkyl, or alkylthioalkyl; Q is a bond, CO, (un)substituted aryl, heteroaryl, or heterocycloalkyl; J is an amino group, including ureido groups; R4 is H, (un)substituted alkyl or arylalkyl; R5 is -M-G-A, where M and A are (un)substituted aryl or heteroaryl; G is a bond, CH2, -alkyl-O-, -O-alkyl-, O, S, SO, or SO2 (with provisos)] useful for inhibiting the ADAM-10 protein, also known as human Kuzbanian. Such compds. are useful in the in vitro study of the role of ADAM-10 (and its inhibition) in biol. processes. Pharmaceutical compns. comprising one or more ADAM-10 inhibitors are useful for the treatment of cancer, arthritis, and diseases related to angiogenesis. The invention also provides methods for making bis-aryl ether sulfonyl chloride intermediates. Thus, claimed compound N2-[[6-(3-fluorophenyl)pyridin-3-yl]sulfonyl]-N1-hydroxy-D-argininamide showed IC50 < 50 nM for inhibition of ADAM-10.

IT 549547-12-8P 549547-13-9P 549547-14-0P
549547-15-1P 549547-16-2P 549547-17-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

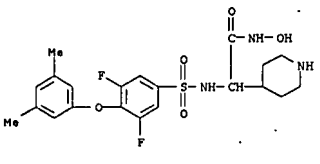
L4 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 549547-16-2 CAPLUS
CN 4-Piperidineacetamide, α-[[[6-(3-fluorophenyl)-3-pyridinyl]sulfonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



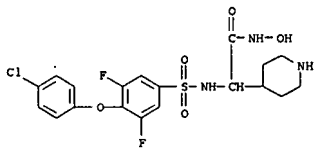
RN 549547-17-3 CAPLUS
CN 4-Piperidineacetamide, α-[[[4-(3,5-dimethylphenoxy)-3,5-difluorophenyl]sulfonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



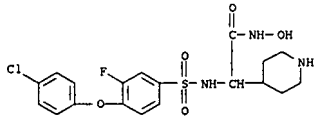
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(Uses)
(prepn. of N-sulfonyl amino acid hydroxamide derivs. as human ADAM-10 inhibitors)

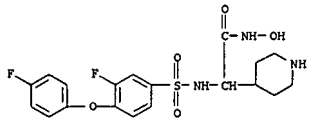
RN 549547-12-8 CAPLUS
CN 4-Piperidineacetamide, α-[[[4-(4-chlorophenoxy)-3,5-difluorophenyl]sulfonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 549547-13-9 CAPLUS
CN 4-Piperidineacetamide, α-[[[4-(4-chlorophenoxy)-3-fluorophenyl]sulfonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 549547-14-0 CAPLUS
CN 4-Piperidineacetamide, α-[[[3-fluoro-4-(4-fluorophenoxy)phenyl]sulfonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



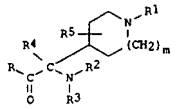
RN 549547-15-1 CAPLUS
CN 4-Piperidineacetamide, α-[[[4-(4-fluorophenoxy)phenyl]sulfonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:716273 CAPLUS
DOCUMENT NUMBER: 137:232912
TITLE: Preparation of azacycloalkyl- and arylsulfonylamino-substituted acetic acid derivatives as selective matrix-degrading metalloproteinase (MMP-13) inhibitors useful as antiinflammatories
INVENTOR(S): Fujimoto, Roger Aki; McQuire, Leslie Wighton; Monovich, Lauren G.; Nantermet, Philippe; Parker, David Thomas; Robinson, Leslie Ann; Skiles, Jerry W.; Tommasi, Ruben Alberto
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072577	A2	20020919	WO 2002-EP2808	20020313
WO 2002072577	A3	20021114		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VN, YU, ZA, ZW				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2440419	A1	20020919	CA 2002-2440419	20020313
AU 2002256672	A1	20020924	AU 2002-256672	20020313
EP 1373262	A2	20040102	EP 2002-726168	20020313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004536788	T	20041209	JP 2002-571493	20020313
US 2004235896	A1	20041125	US 2004-471245	20040513
US 2007060569	A1	20070315	US 2006-591861	20061102
PRIORITY APPLN. INFO.:			US 2001-275819P P	20010314
			US 2001-278572P P	20010322
			WO 2002-EP2808 W	20020313
			US 2004-471245 A1	20040513

OTHER SOURCE(S): MARPAT 137:232912
GI



I

AB Compds. I (e.g. (αR)-1-BOC-α-[[[5-(4-trifluoromethylphenyl)-2-thienyl]sulfonyl]amino]-4-piperidineacetic acid) wherein R represents OH or NHOH; R1 represents H, optionally substituted lower alkyl, aryl-lower

L4 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

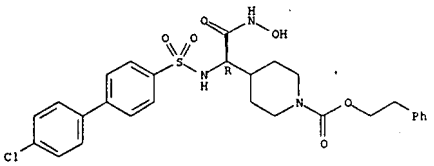
alkyl, cycloalkyl-lower alkyl, or acyl derived from a carboxylic acid, from a carbonic acid, from a carbamic acid of from a sulfonic acid; R2 represents biarylsulfonyl or aryloxyarylsulfonyl; R3 represents H, optionally substituted lower alkyl, aryl-lower alkyl, cycloalkyl-lower alkyl or acyl derived from a carboxylic acid, from a carbonic acid or from a carbamic acid; R4 and R5 represent independently H, lower alkyl, lower alkoxycarbonyl, aryl-lower alkyl or cycloalkyl-lower alkyl; m is 0-3; pharmaceutically acceptable prodrug derivs. thereof; pharmaceutically acceptable salts thereof; pharmaceutical compns. comprising said compds.; and their use for selectively inhibiting the matrix degrading metalloproteinase MMP-13 and preventing or treating matrix metalloproteinase dependent conditions in mammals. Typically, compds. of the invention inhibit collagenase-3 (MMP-13) with IC50s in the range of .apprx.0.1-100 nM and are substantially free of collagenase-1 (MMP-1) inhibition at effective MMP-13 inhibiting concns. The ratio of the IC50 for MMP-1 inhibition to the IC50 for MMP-13 inhibition is typically in the range of .apprx.100-10,000. Although the methods of prepn. are not claimed, 13 example prepns. are included and >100 specific compds. with mass spectral data are included.

IT 458560-22-0P, (αR)-1-[(2-Phenylethoxy)carbonyl]-α-[[[4-(4-chlorophenyl)phenyl]sulfonyl]amino]-4-piperidine-N-hydroxyacetamide
458560-25-3P, (αR)-1-[[2-(1-Naphthyl)ethoxy]carbonyl]-α-[[[4-(4-chlorophenyl)phenyl]sulfonyl]amino]-4-piperidine-N-hydroxyacetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as selective matrix-degrading metalloproteinase (MMP-13) inhibitors useful as antiinflammatories)

RN 458560-22-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(1R)-1-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]amino]-2-(hydroxyamino)-2-oxoethyl]-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

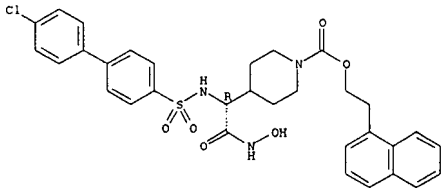


RN 458560-25-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(1R)-1-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]amino]-2-(hydroxyamino)-2-oxoethyl]-, 2-(1-naphthalenyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L4 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:312012 CAPLUS
DOCUMENT NUMBER: 136:340996
TITLE: Preparation of sulfamides as metalloprotease inhibitors
INVENTOR(S): Broka, Chris Allen; Campbell, Jeffrey Allen; Castelhano, Arlindo Lucas; Chen, Jian Jeffrey; Hendricks, Robert Than; Melnick, Michael Joseph; Walker, Keith Adrian Murray
PATENT ASSIGNEE(S): Syntex (U.S.A.) LLC, USA; Agouron Pharmaceuticals, Inc.
SOURCE: U.S., 47 pp., Cont.-in-part of U.S. 6,143,744.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6376506	B1	20020423	US 1999-469677	19991222
CA 2278694	A1	19980730	CA 1998-2278694	19980114
CA 2278694	C	20060926		
AU 9866140	A	19980818	AU 1998-66140	19980114
AU 730127	B2	20010222		
EP 958287	A1	19991124	EP 1998-907943	19980114
EP 958287	B1	20020911		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9807508	A	20000321	BR 1998-7508	19980114
NZ 336625	A	20010427	NZ 1998-336625	19980114
HU 200000941	A2	20010428	HU 2000-941	19980114
JP 2001523222	T	20011120	JP 1998-531537	19980114
JP 3563411	B2	20040908		
AT 223909	T	20020915	AT 1998-907943	19980114
ZA 9800376	A	19980723	ZA 1998-376	19980116
US 5998412	A	19991207	US 1998-9951	19980121
NO 9903587	A	19990922	NO 1999-3587	19990722
NO 313635	B1	20021104		
MX 9906822	A	20000131	MX 1999-6822	19990722
US 6130220	A	20001010	US 1999-369677	19990805
US 6143744	A	20001107	US 1999-369501	19990805

PRIORITY APPLN. INFO.:

US 1997-36714P	P	19970123
US 1997-62209P	P	19971016
US 1998-9951	A3	19980121
US 1999-369501	A2	19990805
WO 1998-EP180	W	19980114

OTHER SOURCE(S): MARPAT 136:340996

AB Sulfamides RCOCR1R2NR3SO2NR4R5 [R = OH, NHOH or N/O-alkyl or -aryl derivs.; R1, R2, R3 = H, alkyl, alkenyl, haloalkyl, cycloalkyl, cycloalkylalkyl, (hetero)aryl, acylalkyl, etc.; R1R2C may be a (hetero)carbocycle or R3 together with R1 or R2 form a heterocycloamino group; R4, R5 = H, alkyl, heteroalkyl, cycloalkyl, cycloalkylalkyl, aryl, (hetero)aralkyl or -aralkenyl; R4R5N may be a heterocycloamino group or R4 or R5 together with R3 forms an alkylene group (with provisos)], as individual isomers or mixts. of isomers, or their pharmaceutically-acceptable salts or prodrugs were prepared as inhibitors of metalloproteases. Thus, 2-(R)-[(1,2,3,4-tetrahydro-β-carbolino-2-sulfonyl)amino]propionic acid (claimed compound) was prepared by treating D-alanine Me ester hydrochloride with chlorosulfonyl isocyanate/2-chloroethanol, reaction of the oxazolidone formed with

L4 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

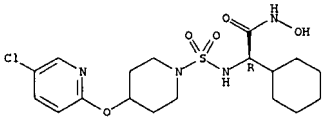
1,2,3,4-tetrahydro-β-carboline, and sapon. Metalloprotease and TNF-α inhibitory test data are tabulated.

IT 210914-92-4P 210915-10-9P 210915-15-4P
210916-84-0P 210916-88-4P 210916-89-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfamides as metalloprotease inhibitors)

RN 210914-92-4 CAPLUS

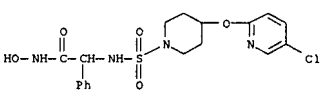
CN Cyclohexaneacetamide, α-[[[4-[(5-chloro-2-pyridinyl)oxy]-1-piperidinyl]sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 210915-10-9 CAPLUS

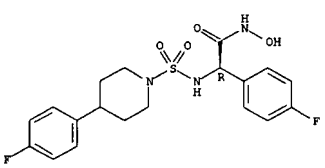
CN Benzeneacetamide, α-[[[4-[(5-chloro-2-pyridinyl)oxy]-1-piperidinyl]sulfonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



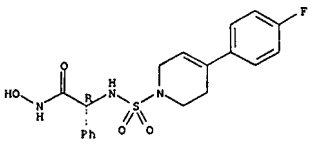
RN 210915-15-4 CAPLUS

CN Benzeneacetamide, 4-fluoro-α-[[[4-(4-fluorophenyl)-1-piperidinyl]sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

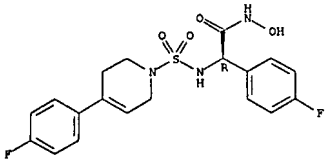


L4 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Absolute stereochemistry.



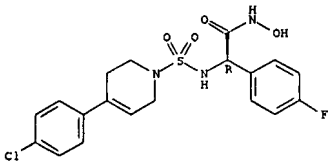
RN 210916-88-4 CAPLUS
CN Benzeneacetamide, 4-fluoro-α-[[[4-(4-fluorophenyl)-3,6-dihydro-1(2H)-pyridinyl]sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 210916-89-5 CAPLUS
CN Benzeneacetamide, α-[[[4-(4-chlorophenyl)-3,6-dihydro-1(2H)-pyridinyl]sulfonyl]amino]-4-fluoro-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



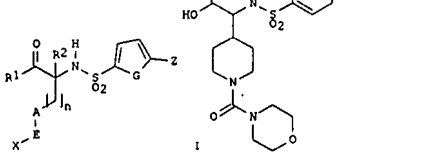
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:713310 CAPLUS
DOCUMENT NUMBER: 135:257165
TITLE: [(Hetero)arylsulfonylamino]-[1-substituted-piperidin-4-yl]-acetic acids as metalloprotease inhibitors
INVENTOR(S): Pikul, Stanislaw; Ohler, Norman Eugene; Almstead, Neil Gregory; Laughlin, Steven Karl; Natchus, Michael George; De, Biswanath
PATENT ASSIGNEE(S): Procter + Gamble Company, USA
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070690	A1	20010927	WO 2001-US8783	20010320
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2404076	A1	20010927	CA 2001-2404076	20010320
EP 1265863	A1	20021218	EP 2001-918833	20010320
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009353	A	20030408	BR 2001-9353	20010320
HU 200300262	A2	20030628	HU 2003-262	20010320
JP 2003528079	T	20030924	JP 2001-568902	20010320
NZ 520656	A	20040528	NZ 2001-520656	20010320
RU 2230736	C2	20040620	RU 2002-128004	20010320
ZA 2002006297	A	20030217	ZA 2002-6297	20020807
US 2003171400	A1	20030911	US 2002-246201	20020918
NO 2002004521	A	20020920	NO 2002-4521	20020920
PRIORITY APPLN. INFO.:			US 2000-191303P P	20000321
			WO 2001-US8783 W	20010320

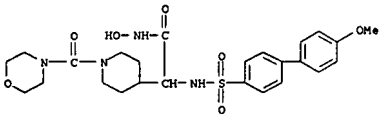
OTHER SOURCE(S): MARPAT 135:257165
GI

L4 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



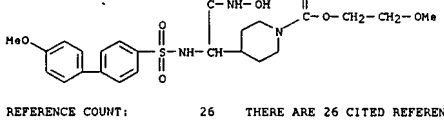
I II

AB The title compds. [I; R1 = OH, NHOH; R2 = H, alkyl, haloalkyl, etc.; A = (un)substituted monocyclic heterocycloalkyl; A can be connected to R2 to form (un)substituted monocyclic heterocycloalkyl; n = 0-4; E = a bond, alkyl, CO, etc.; X = H, alkyl, aryl, etc.; G = S, O, N:N, etc.; Z = cycloalkyl, heterocycloalkyl, etc.] such as II which are inhibitors of metalloproteases and which are effective in treating conditions characterized by excess activity of these enzymes such as arthritis and cancer, were claimed and formulated (prepns. were given but no data for intermediates and final compds.).
IT 362525-92-6P
RL: PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
([(hetero)arylsulfonylamino]-[1-substituted-piperidin-4-yl]-acetic acids as metalloprotease inhibitors)
RN 362525-92-6 CAPLUS
CN 4-Piperidineacetamide, N-hydroxy-α-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]amino]-1-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:474054 CAPLUS
DOCUMENT NUMBER: 135:210918
TITLE: Potent and Selective Carboxylic Acid-Based Inhibitors of Matrix Metalloproteinases
AUTHOR(S): Pikul, Stanislaw; Ohler, Norman E.; Ciszewski, Greg; Laufersweiler, Michael C.; Almstead, Neil G.; De, Biswanath; Natchus, Michael G.; Hsieh, Lily C.; Janusz, Michael J.; Peng, Sean X.; Branch, Todd M.; King, Selane L.; Taiwo, Yetunde O.; Mieling, Glen E.
CORPORATE SOURCE: Health Care Research Center, Procter and Gamble Pharmaceuticals, Mason, OH, 45040, USA
SOURCE: Journal of Medicinal Chemistry (2001), 44(16), 2499-2502
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A novel series of carboxylic acids containing a substituted piperidine were synthesized and tested for inhibition of selected matrix metalloproteinases. Multiple analogs prepared based on this novel design were found to inhibit the target MMPs (MMP-2, -3, -8, -9, and -13) with unprecedented, low nanomolar potency while, at the same time, sparing MMP-1 and MMP-7. Solubility and plasma protein binding of several members of this new series of carboxylic acids were also investigated.
IT 357413-54-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of carboxylic acid-based inhibitors of matrix metalloproteinases)
RN 357413-54-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-(hydroxyamino)-1-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]amino]-2-oxoethyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:314178 CAPLUS
DOCUMENT NUMBER: 134:326767
TITLE: Preparation of acetylenic α-amino acid-based sulfonamide hydroxamic acid TACE inhibitors
INVENTOR(S): Levin, Jeremy I.; Chen, James M.; Cole, Derek C.; Du, Mila T.; Laakso, Leif M.
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: U.S., 109 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6225311	B1	20010501	US 2000-492691	20000127
US 2003008849	A1	20030109	US 2000-748912	20001227
US 2003212049	A1	20031113	US 2003-376871	20030227
US 6716833	B2	20040406		
US 2004033988	A1	20040219	US 2003-377008	20030227
US 6812227	B2	20041102		
US 2005113346	A1	20050526	US 2004-977962	20041029

PRIORITY APPLN. INFO.: US 1999-155249P P 19990127
US 2000-492691 A3 20000127
US 2000-748912 B1 20001227
US 2003-377008 A1 20030227

OTHER SOURCE(S): MARPAT 134:326767

AB Amino acid derivs. HONHCOCR1R2NR3-X-Y-Z-CR4R5C.tplbond.CR6 [X = SO2, P(O)R10, where R10 = alkyl, cycloalkyl, aryl, heteroaryl; Y = aryl, heteroaryl, with the proviso that X and Z may not be bonded to adjacent atoms of Y; Z = O, NH, CH2, S; R1 = H, aryl, alkyl, alkenyl, alkynyl; R2 = any group given for R1, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, cycloheteroalkyl or R1 and R2 may form a ring; R3 = H, alkyl, cycloalkyl, cycloheteroalkyl, aralkyl, heteroaralkyl or R1 and R3 may form a ring; R4, R5 = H, alkyl, CN, C.tplbond.CH; R6 = any group given for R1, heteroaryl, cycloalkyl, cycloheteroalkyl] or pharmaceutically acceptable salts were prepared as inhibitors of TNF-α converting enzyme (TACE). Thus, 2-[(4-but-2-ynyloxybenzenesulfonyl)methylamino]-N-hydroxy-3-methylbutyramide was prepared and showed IC50 = 7.4 nM for inhibition of TACE.

IT 287404-67-5P 287404-90-4P 287404-94-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of acetylenic α-amino acid-based sulfonamide hydroxamic acid TACE inhibitors)

RN 287404-67-5 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N,4-dihydroxy-, (αR)- (9CI) (CA INDEX NAME)

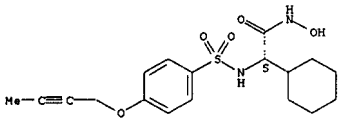
Absolute stereochemistry.

L4 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

IT 287403-65-0P 287403-71-8P 287403-88-7P
287404-68-6P 287404-91-5P 287404-92-6P
287404-93-7P 287404-95-9P 287404-96-0P
287404-97-1P 287404-98-2P 287404-99-3P
287405-00-9P 287405-01-0P 287406-17-1P
287408-97-3P 287408-98-4P 287479-03-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acetylenic α-amino acid-based sulfonamide hydroxamic acid TACE inhibitors)

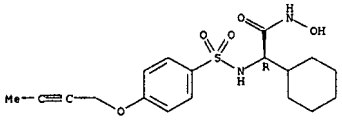
RN 287403-65-0 CAPLUS
CN Cyclohexaneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



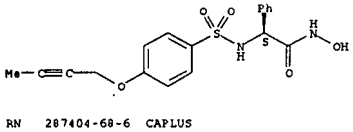
RN 287403-71-8 CAPLUS
CN Cyclohexaneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



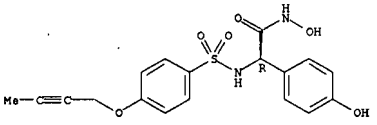
RN 287403-88-7 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 287404-68-6 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-

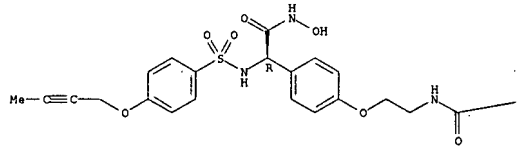
L4 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 287404-90-4 CAPLUS
CN Carbamic acid, [2-[4-[(1R)-1-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-2-(hydroxyamino)-2-oxoethyl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

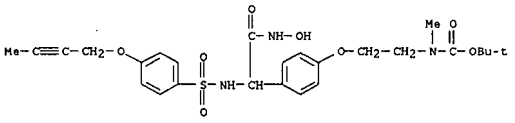
PAGE 1-A



PAGE 1-B

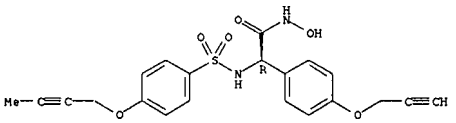
—OBu-t

RN 287404-94-8 CAPLUS
CN Carbamic acid, [2-[4-[1-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-2-(hydroxyamino)-2-oxoethyl]phenoxy]ethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



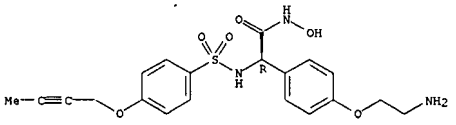
L4 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
hydroxy-4-(2-propynyloxy)-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



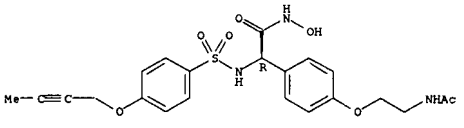
RN 287404-91-5 CAPLUS
CN Benzeneacetamide, 4-(2-aminoethoxy)-α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



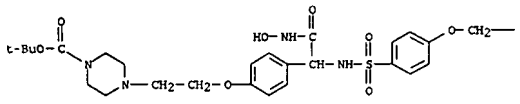
RN 287404-92-6 CAPLUS
CN Benzeneacetamide, 4-[2-(acetylamino)ethoxy]-α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



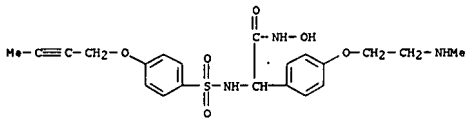
RN 287404-93-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-[4-[1-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-2-(hydroxyamino)-2-oxoethyl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

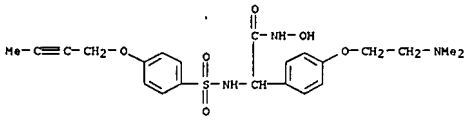


PAGE 1-B

RN 287404-95-9 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-4-[2-(methylamino)ethoxy]- (9CI) (CA INDEX NAME)



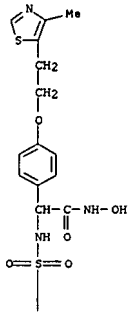
RN 287404-96-0 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-4-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



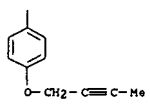
● HCl

RN 287404-99-3 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-4-[2-(4-methyl-5-thiazolyl)ethoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

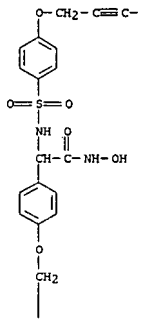


PAGE 2-A



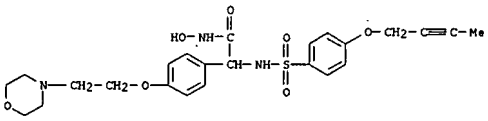
RN 287405-00-9 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-

PAGE 1-A



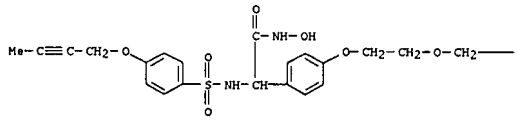
PAGE 2-A

RN 287404-97-1 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-4-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 287404-98-2 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-4-[2-(dimethylamino)ethoxy]-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

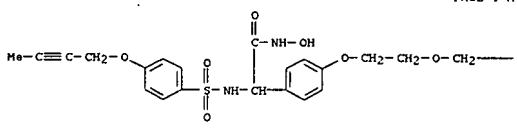
PAGE 1-A



PAGE 1-B

RN 287405-01-0 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-4-[2-(2-methoxyethoxy)ethoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

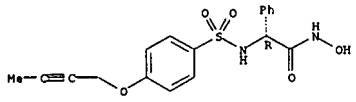


PAGE 1-B

RN 287406-17-1 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

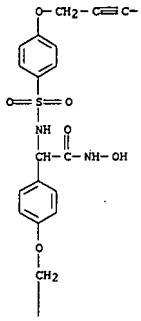
Absolute stereochemistry.

L4 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 287408-97-3 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-4-[2-(1-pyrrolidinyl)ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



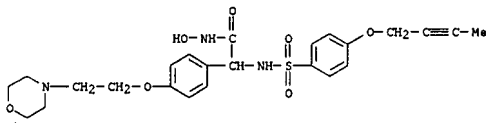
PAGE 2-A

● HCl

RN 287408-98-4 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-

L4 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

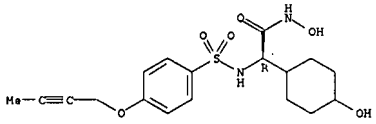
L4 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
hydroxy-4-[2-(4-morpholinyl)ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

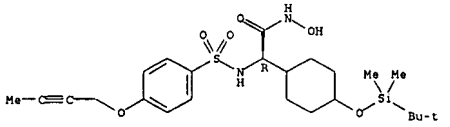
RN 287479-03-2 CAPLUS
CN Cyclohexaneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N,4-dihydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 287479-10-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of acetylenic α-amino acid-based sulfonamide hydroxamic acid TACE inhibitors)
RN 287479-10-1 CAPLUS
CN Cyclohexaneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:535102 CAPLUS
DOCUMENT NUMBER: 133:150908
TITLE: Preparation of acetylenic α-amino acid-based sulfonamide hydroxamic acid TACE inhibitors
INVENTOR(S): Levin, Jeremy Ian; Chen, James Ming; Cole, Derek Cecil
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044709	A2	20000803	WO 2000-US1981	20000127
WO 2000044709	A3	20001221		
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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JP 2002535382	T	20021022	JP 2000-595966	20000127
AU 766717	B2	20031023	AU 2000-27384	20000127
NZ 511928	A	20031128	NZ 2000-511928	20000127
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IN 2001KN00867	A	20051216	IN 2001-KN867	20010823
HK 1038735	A1	20050107	HK 2002-100184	20020110
PRIORITY APPLN. INFO.:			US 1999-238255 A	19990127
			WO 2000-US1981 W	20000127
			IN 2001-538 A3	20010522

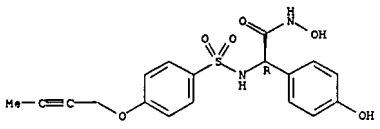
OTHER SOURCE(S): MARPAT 133:150908
AB Amino acid derivs. HONHCOCR1R2NR3-X-Y-Z-CR4R5C.tplbond.CR6 [X = SO2, P(O)R10, where R10 = alkyl, cycloalkyl, aryl, heteroaryl; Y = aryl, heteroaryl, with the proviso that X and Z may not be bonded to adjacent atoms of Y; Z = O, NH, CH2, S; R1 = H, aryl, alkyl, alkenyl, alkynyl; R2 = any group given for R1, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, cycloheteroalkyl or R1 and R2 may form a ring; R3 = H, alkyl, cycloalkyl, cycloheteroalkyl, aralkyl, heteroaralkyl or R1 and R3 may form a ring; R4, R5 = H, alkyl, CN, C.tplbond.CH; R6 = any group given for R1, heteroaryl, cycloalkyl, cycloheteroalkyl] or pharmaceutically acceptable salts were

L4 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
prepd. as inhibitors of TNF-α converting enzyme (TACE). Thus, 2-[(4-but-2-ynyloxybenzenesulfonyl)methylamino]-N-hydroxy-3-methylbutyramide was prepd. and showed IC50 = 7.4 nM for inhibition of TACE.

IT 287404-67-5P 287404-90-4P 287404-94-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of acetylenic α-amino acid-based sulfonamide hydroxamic acid TACE inhibitors)

RN 287404-67-5 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N,4-dihydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 287404-90-4 CAPLUS
CN Carbamic acid, [2-[4-[(1R)-1-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-2-(hydroxyamino)-2-oxoethyl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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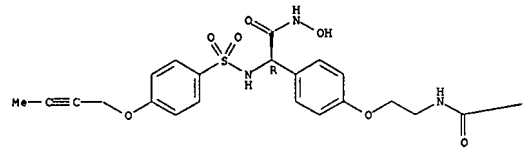

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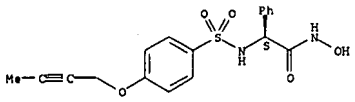
L4 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

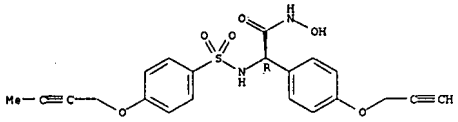
RN 287403-88-7 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



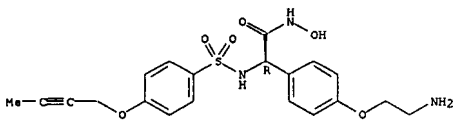
RN 287404-68-6 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-4-(2-propynyloxy)-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 287404-91-5 CAPLUS
CN Benzeneacetamide, 4-(2-aminoethoxy)-α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

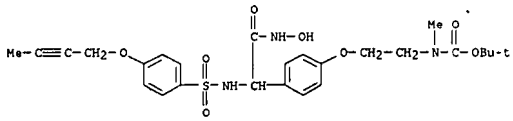


RN 287404-92-6 CAPLUS
CN Benzeneacetamide, 4-[2-(acetylamino)ethoxy]-α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 287404-94-8 CAPLUS
CN Carbamic acid, [2-[4-[1-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-2-(hydroxyamino)-2-oxoethyl]phenoxy]ethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 287403-65-0P 287403-71-8P 287403-88-7P
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287408-97-3P 287408-98-4P 287409-10-3P
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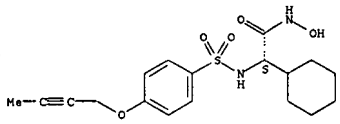
287409-49-8P 287479-03-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acetylenic α-amino acid-based sulfonamide hydroxamic acid TACE inhibitors)

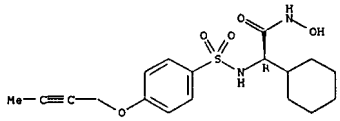
RN 287403-65-0 CAPLUS
CN Cyclohexaneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

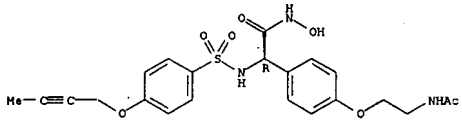


RN 287403-71-8 CAPLUS
CN Cyclohexaneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

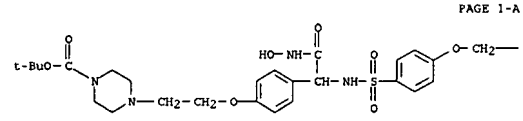


L4 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 287404-93-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-[4-[1-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-2-(hydroxyamino)-2-oxoethyl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

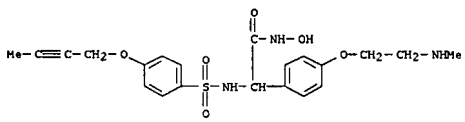
PAGE 1-A



PAGE 1-B

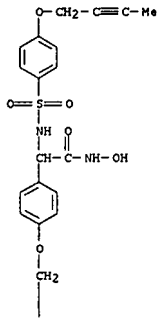
—C≡C—Me

RN 287404-95-9 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-4-[2-(methylamino)ethoxy]- (9CI) (CA INDEX NAME)



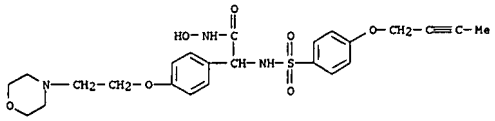
RN 287404-96-0 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-4-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

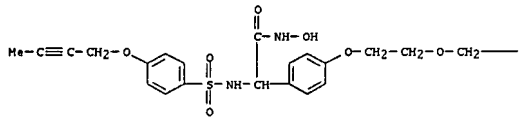
RN 287404-97-1 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-4-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 287404-98-2 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-4-[2-(dimethylamino)ethoxy]-N-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

hydroxy-4-[2-[2-(2-methoxyethoxy)ethoxy]ethoxy]- (9CI) (CA INDEX NAME)

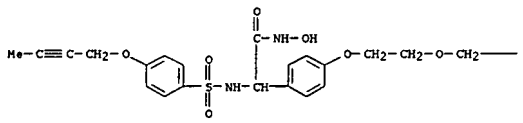
PAGE 1-A



PAGE 1-B

RN 287405-01-0 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-4-[2-(2-methoxyethoxy)ethoxy]- (9CI) (CA INDEX NAME)

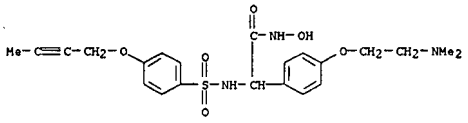
PAGE 1-A



PAGE 1-B

RN 287406-17-1 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

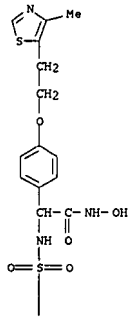
Absolute stereochemistry.



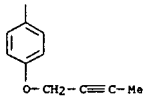
● HCl

RN 287404-99-3 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-4-[2-(4-methyl-5-thiazolyl)ethoxy]- (9CI) (CA INDEX NAME)

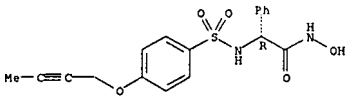
PAGE 1-A



PAGE 2-A

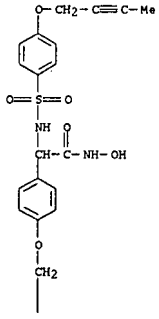


RN 287405-00-9 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-



RN 287408-97-3 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-4-[2-(1-pyrrolidinyl)ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

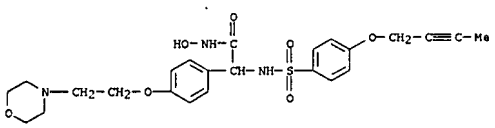


PAGE 2-A

● HCl

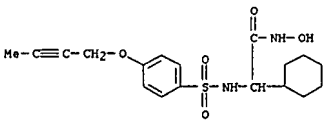
RN 287408-98-4 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-

L4 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
hydroxy-4-[2-(4-morpholinyl)ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

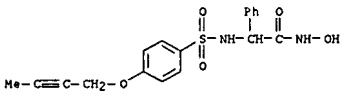


● HCl

RN 287409-10-3 CAPLUS
CN Cyclohexaneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)

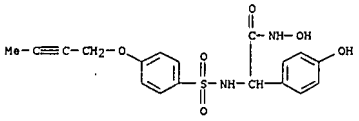


RN 287409-20-5 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)

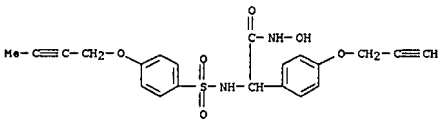


RN 287409-40-9 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N,4-dihydroxy- (9CI) (CA INDEX NAME)

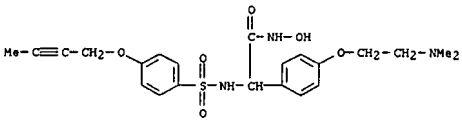
L4 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 287409-41-0 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-4-(2-propynyloxy)- (9CI) (CA INDEX NAME)

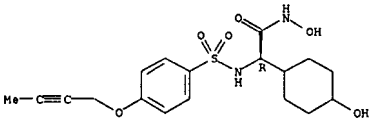


RN 287409-49-8 CAPLUS
CN Benzeneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-4-[2-(dimethylamino)ethoxy]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 287479-03-2 CAPLUS
CN Cyclohexaneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N,4-dihydroxy-, (αR)- (9CI) (CA INDEX NAME)

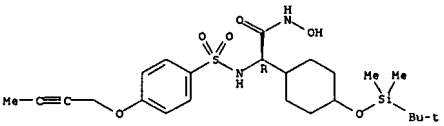
Absolute stereochemistry.



IT 287479-10-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L4 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(prepn. of acetylenic α-amino acid-based sulfonamide hydroxamic acid TACE inhibitors)
RN 287479-10-1 CAPLUS
CN Cyclohexaneacetamide, α-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

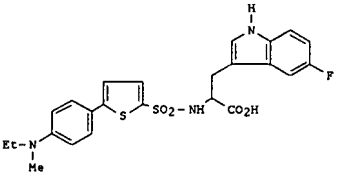


L4 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:190916 CAPLUS
DOCUMENT NUMBER: 132:236806
TITLE: Preparation of remedial or preventive agents for congestive heart failure
INVENTOR(S): Watanabe, Fumihiko; Gemba, Takefumi; Tsuzuki, Hiroshige; Shimamura, Toshitake
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000015213	A1	20000323	WO 1999-JP4859	19990908
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9956470	A1	20000403	AU 1999-56470	19990908
PRIORITY APPLN. INFO.:			JP 1998-258033 A	19980911
			WO 1999-JP4859 W	19990908
OTHER SOURCE(S):	MARPAT 132:236806			

GI

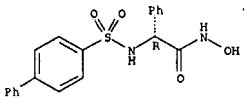


II

AB Title compds. (R)-R5R4R3SO2N(R2)CH(R1)COY [I; R1 and R2 each represents hydrogen, optionally substituted lower alkyl, optionally substituted (hetero)aryl, etc.; R3 represents optionally substituted (hetero)arylene, etc.; R4 represents, e.g., a single bond, CC, or a group represented by Q, R5 represents optionally substituted (hetero)aryl, optionally substituted nonarom. heterocyclic group, etc.; and Y represents NHOH or OH], stereoisomers, pharmacol. acceptable salts, and hydrates are prepared as remedial or preventive agents for congestive heart failure in mammal. The title compound (S)-II was prepared
IT 193807-89-5P

L4 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of remedial or preventive agents for congestive heart failure)
RN 193807-89-5 CAPLUS
CN Benzeneacetamide, α-[([1,1'-biphenyl]-4-ylsulfonyl)amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

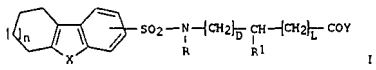
L4 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:98543 CAPLUS
DOCUMENT NUMBER: 132:152135
TITLE: Preparation of tricyclic sulfonamides as inhibitors of matrix metalloproteinases
INVENTOR(S): O'Brien, Patrick Michael; Picard, Joseph Armand; Sliskovic, Drago Robert
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000006561	A1	20000210	WO 1999-US12273	19990602
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335077	A1	20000210	CA 1999-2335077	19990602
AU 9943292	A	20000221	AU 1999-43292	19990602
AU 758619	B2	20030327		
BR 9912600	A	20010502	BR 1999-12600	19990602
TR 200100239	T2	20010521	TR 2001-200100239	19990602
EP 1100792	A1	20010523	EP 1999-963141	19990602
EP 1100792	B1	20040317		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 200102714	A2	20020128	HU 2001-2714	19990602
EE 200100063	A	20020617	EE 2001-63	19990602
JP 2002521478	T	20020716	JP 2000-562364	19990602
NZ 509439	A	20021025	NZ 1999-509439	19990602
AT 261954	T	20040415	AT 1999-963141	19990602
PT 1100792	T	20040831	PT 1999-963141	19990602
ES 2216614	T3	20041016	ES 1999-963141	19990602
ZA 2001000455	A	20020116	ZA 2001-455	20010116
IN 2001MN00077	A	20050304	IN 2001-MN77	20010119
BG 105185	A	20020430	BG 2001-105185	20010125
NO 2001000479	A	20010129	NO 2001-479	20010129
HR 2001000078	A1	20020228	HR 2001-78	20010130
US 6420408	B1	20020716	US 2001-719027	20010410
US 2002169164	A1	20021114	US 2002-108817	20020327
US 6492422	B2	20021210		

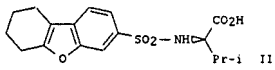
PRIORITY APPLN. INFO.:	US 1998-95006P	P	19980730
	WO 1999-US12273	W	19990602
	US 2001-719027	A3	20010410

OTHER SOURCE(S): MARPAT 132:152135
GI

L4 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



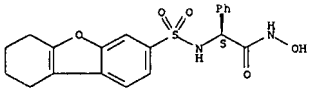
I



II

AB The title compds. [I; n = 1-2; X = O, CH2, CO, etc.; R = H, alkyl, hydroxyalkyl, etc.; D = 0-3; L = 0-3; R1 = H, a side chain of a natural amino acid, a side chain of an unnatural amino acid; Y = OH, OMe, OCH2Ph, etc.], useful as inhibitors of matrix metalloproteinases, particularly gelatinase A, collagenase-3, and stromelysin-1 and for the treatment of multiple sclerosis, atherosclerotic plaque rupture, aortic aneurysm, heart failure, left ventricular dilation, restenosis, periodontal disease, corneal ulceration, treatment of burns, decubital ulcers, wound healing, cancer, inflammation, pain, arthritis, osteoporosis, renal disease, or other autoimmune or inflammatory disorders dependent upon tissue invasion by leukocytes or other activated migrating cells, acute and chronic neurodegenerative disorders including stroke, head trauma, spinal cord injury, Alzheimer's disease, amyotrophic lateral sclerosis, cerebral amyloid angiopathy, AIDS, Parkinson's disease, Huntington's disease, prion diseases, myasthenia gravis, and Duchenne's muscular dystrophy, were prepared E.g., a multi-step synthesis of (R)-II which showed IC50 of 6.7 μM against collagenase-1 full length enzyme, was given.
IT 257626-67-8P 257627-29-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic sulfonamides as inhibitors of matrix metalloproteinases)
RN 257626-67-8 CAPLUS
CN Benzeneacetamide, N-hydroxy-α-[[(6,7,8,9-tetrahydro-3-dibenzofuranyl)sulfonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

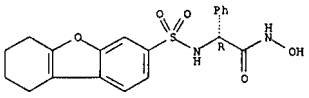
Absolute stereochemistry.



RN 257627-29-5 CAPLUS
CN Benzeneacetamide, N-hydroxy-α-[[(6,7,8,9-tetrahydro-3-dibenzofuranyl)sulfonyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

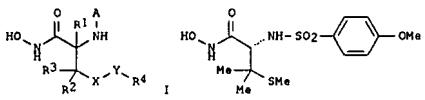
L4 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:113626 CAPLUS
DOCUMENT NUMBER: 130:168652
TITLE: Preparation of substituted amino acid N-hydroxyamides as metalloprotease inhibitors
INVENTOR(S): Almstead, Neil Gregory; Bookland, Roger Gunnard; Taiwo, Yetunde Olabisi; Bradley, Rimma Sandler; Bush, Rodney Dean; De, Biswanath; Natchus, Michael George; Pikul, Stanislaw
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906340	A2	19990211	WO 1998-IB1139	19980727
WO 9906340	A3	19990930		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2298617	A1	19990211	CA 1998-2298617	19980727
AU 9882376	A	19990222	AU 1998-82376	19980727
AU 746877	B2	20020502		
EP 1009737	A2	20000621	EP 1998-932460	19980727
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
BR 9810841	A	20010710	BR 1998-10841	19980727
HU 200004595	A2	20010828	HU 2000-4595	19980727
JP 2001513484	T	20010904	JP 2000-505105	19980727
NZ 503945	A	20021126	NZ 1998-503945	19980727
ZA 9806835	A	19990201	ZA 1998-6835	19980730
US 6218389	B1	20010417	US 1998-127678	19980731
NO 2000000464	A	20000330	NO 2000-464	20000128

PRIORITY APPLN. INFO.: US 1997-54348P P 19970731
WO 1998-IB1139 W 19980727

OTHER SOURCE(S): MARPAT 130:168652
GI



I III

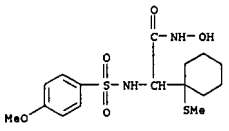
AB The invention provides title compds. I [A = SO2Ar, COAr, CONHAr, P(O)(R)Ar; Ar = (un)substituted mono- or bicyclic aryl or heteroaryl; R1 = H, alkyl; R2-R4 = independently H, (un)substituted alkyl, aryl, heteroaryl, arylalkyl, alkoxyalkyl, heterocyclyl, heterocyclylalkyl; R1R2, R2R3, R3R4 may form rings; X = bond, C1-6 alkyl, CO, O, N, NZ, S, S(O),

L4 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

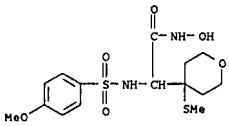
SO2; Y = bond, C1-6 alkyl, CO, CO2, CONH, O, N, NZ, S, S(O), SO2; Z = H, COR4, CO2R4, CONHR4, R4, C(S)R4, CSNHR4, SO2R4] or an optical isomer, diastereomer or enantiomer thereof, or a pharmaceutically-acceptable salt, or biohydrolyzable amide, ester, or imide thereof are useful as inhibitors of metalloproteases. Also disclosed are pharmaceutical compns. and methods of treating diseases, disorders and conditions characterized by metalloprotease activity using these compds. or the pharmaceutical compns. contg. them. Thus, S-methylation of D-penicillamine (D-Pen) with Me2SO4 and Ba(OH)2, followed by N-sulfonylation with 4-MeOC6H4SO2Cl gave 73% adduct 4-MeOC6H4SO2-D-Pen(Me)-OH (II). Acid chlorination of II with oxalyl chloride, followed by amidation with hydroxylamine gave desired N-hydroxyamide III in 65% yield.

IT 220389-81-1P 220389-82-2P 220389-83-3P
220389-84-4P 220391-58-2P 220391-59-3P
220391-60-6P 220391-61-7P 220391-64-0P
220391-65-1P 220391-66-2P 220391-67-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted amino acid N-hydroxyamides as metalloprotease inhibitors)

RN 220389-81-1 CAPLUS
CN Cyclohexaneacetamide, N-hydroxy-α-[[(4-methoxyphenyl)sulfonyl]amino]-1-(methylthio)- (9CI) (CA INDEX NAME)

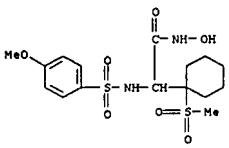


RN 220389-82-2 CAPLUS
CN 2H-Pyran-4-acetamide, tetrahydro-N-hydroxy-α-[[(4-methoxyphenyl)sulfonyl]amino]-4-(methylthio)- (9CI) (CA INDEX NAME)

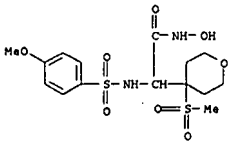


RN 220389-83-3 CAPLUS
CN Cyclohexaneacetamide, N-hydroxy-α-[[(4-methoxyphenyl)sulfonyl]amino]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

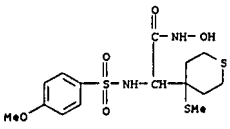
L4 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 220389-84-4 CAPLUS
CN 2H-Pyran-4-acetamide, tetrahydro-N-hydroxy-α-[[(4-methoxyphenyl)sulfonyl]amino]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

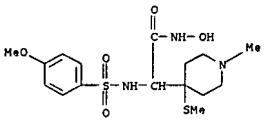


RN 220391-58-2 CAPLUS
CN 2H-Thiopyran-4-acetamide, tetrahydro-N-hydroxy-α-[[(4-methoxyphenyl)sulfonyl]amino]-4-(methylthio)- (9CI) (CA INDEX NAME)

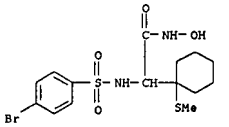


RN 220391-59-3 CAPLUS
CN 4-Piperidineacetamide, N-hydroxy-α-[[(4-methoxyphenyl)sulfonyl]amino]-1-methyl-4-(methylthio)- (9CI) (CA INDEX NAME)

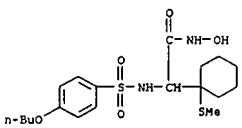
L4 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



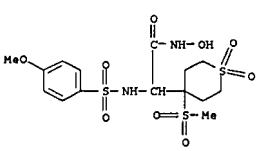
RN 220391-60-6 CAPLUS
CN Cyclohexaneacetamide, α-[[(4-bromophenyl)sulfonyl]amino]-N-hydroxy-1-(methylthio)- (9CI) (CA INDEX NAME)



RN 220391-61-7 CAPLUS
CN Cyclohexaneacetamide, α-[[(4-butoxyphenyl)sulfonyl]amino]-N-hydroxy-1-(methylthio)- (9CI) (CA INDEX NAME)

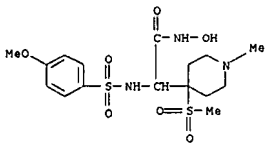


RN 220391-64-0 CAPLUS
CN 2H-Thiopyran-4-acetamide, tetrahydro-N-hydroxy-α-[[(4-methoxyphenyl)sulfonyl]amino]-4-(methylsulfonyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

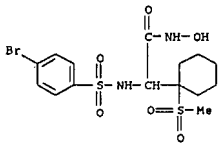


L4 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

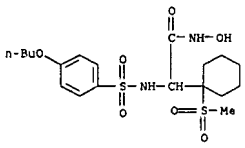
RN 220391-65-1 CAPLUS
CN 4-Piperidineacetamide, N-hydroxy-α-[[(4-methoxyphenyl)sulfonyl]amino]-1-methyl-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 220391-66-2 CAPLUS
CN Cyclohexaneacetamide, α-[[(4-bromophenyl)sulfonyl]amino]-N-hydroxy-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 220391-67-3 CAPLUS
CN Cyclohexaneacetamide, α-[[(4-butoxyphenyl)sulfonyl]amino]-N-hydroxy-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

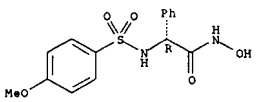


L4 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:590740 CAPLUS
DOCUMENT NUMBER: 129:225747
TITLE: α-Aminosulfonyl hydroxamic acids as matrix metalloproteinase inhibitors
INVENTOR(S): Warpehoski, Martha A.; Mitchell, Mark Allen; Jacobsen, Eric Jon
PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5804593	A	19980908	US 1997-953940	19971020
PRIORITY APPLN. INFO.:			US 1997-953940	19971020

OTHER SOURCE(S): MARPAT 129:225747
AB The present invention relates to therapeutically active α-aminosulfonyl hydroxamic acids, to pharmaceutical compns. containing them, and to the method of using such compds. The compds. of the invention are inhibitors of matrix metalloproteinases involved in tissue degradation, hence are useful for the treatment of osteoarthritis, rheumatoid arthritis, septic arthritis, osteopenia, osteoporosis, tumor metastasis, periodontitis, gingivitis, corneal ulceration, dermal ulceration, or gastric ulceration. N-Hydroxy-2(R)-[(4-methoxybenzenesulfonyl)amino]-3-(3-indolyl)-propanamide (I) was prepared by 3 steps from reactants, D-tryptophan Me ester hydrochloride, 4-methoxybenzenesulfonyl chloride, and hydroxyamine hydrochloride. I was in vitro tested for inhibitory activities in gelatinase, resulting in Ki (inhibition constant) value of 0.00781 M.
IT 206758-46-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of α-aminosulfonyl hydroxamic acids as matrix metalloproteinase inhibitors)
RN 206758-46-5 CAPLUS
CN Benzeneacetamide, N-hydroxy-α-[[(4-methoxyphenyl)sulfonyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

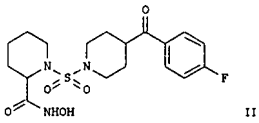


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:498326 CAPLUS
DOCUMENT NUMBER: 129:148991
TITLE: Preparation of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors
INVENTOR(S): Broka, Chris Allen; Campbell, Jeffrey Allen; Castelhano, Arlindo Lucas; Chen, Jian Jeffrey; Hendricks, Robert Than; Melnick, Michael Joseph; Walker, Keith Adrian Murray
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.; Agouron Pharmaceuticals, Inc.
SOURCE: Ger. Offen., 84 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19802350	A1	19980730	DE 1998-19802350	19980122
CA 2278694	A1	19980730	CA 1998-2278694	19980114
CA 2278694	C	20060926		
WO 9832748	A1	19980730	WO 1998-EP180	19980114
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9866140	A	19980818	AU 1998-66140	19980114
AU 730127	B2	20010222		
EP 958287	A1	19991124	EP 1998-907943	19980114
EP 958287	B1	20020911		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9807508	A	20000321	BR 1998-7508	19980114
NZ 336625	A	20010427	NZ 1998-336625	19980114
HU 200000941	A2	20010428	HU 2000-941	19980114
JP 2001523222	T	20011120	JP 1998-531537	19980114
JP 3563411	B2	20040908		
AT 223909	T	20020915	AT 1998-907943	19980114
CN 1093125	B	20021023	CN 1998-803233	19980114
PT 958287	T	20021231	PT 1998-907943	19980114
ES 2183331	T3	20030316	ES 1998-907943	19980114
ZA 9800376	A	19980723	ZA 1998-376	19980116
IN 1998MA00105	A	20050304	IN 1998-MA105	19980116
IT 1298163	B1	19991220	IT 1998-MI91	19980120
FR 2758559	A1	19980724	FR 1998-601	19980121
GB 2321641	A	19980805	GB 1998-1393	19980122
GB 2321641	B	20010401		
ES 2136037	A1	19991101	ES 1998-113	19980122
ES 2136037	B1	20001116		
NO 9903587	A	19990922	NO 1999-3587	19990722
NO 313635	B1	20021104		
MX 9906822	A	20000131	MX 1999-6822	19990722
PRIORITY APPLN. INFO.:			US 1997-36714P P	19970123
			US 1997-62209P P	19971016
			WO 1998-EP180 W	19980114

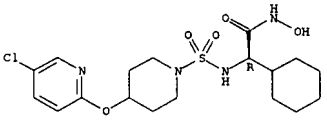
L4 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 129:148991
GI



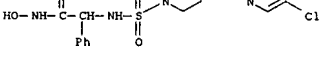
II

AB R10COCR1R2NR3SO2NR20R21 [I; R1-R3 = H, (CO-interrupted) alkyl, heterocyclyl(alkyl), (hetero)aryl(alkyl), etc.; R1R2, R1R3, R2R3 = atoms to complete a ring; R10 = NR11OR12; R11,R12 = H or (ar)alkyl; R20,R21 = H, alkyl, (hetero)aryl[alk(en)yl], etc.; NR20R21heterocyclyl] were prepared Thus, (R)-1-[4-(4-chlorobenzoyl)piperidine-1-sulfonyl]piperidine-2-carboxylic acid was amidated by H2NOCMe3 and the product deprotected to give title compound (R)-II. Data for biol. activity of I were given.
IT 210914-92-4P 210915-10-9P 210915-15-4P 210916-84-0P 210916-88-4P 210916-89-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors)
RN 210914-92-4 CAPLUS
CN Cyclohexaneacetamide, α-[[[4-[(5-chloro-2-pyridinyl)oxy]-1-piperidinyl]sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



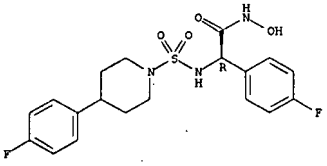
RN 210915-10-9 CAPLUS
CN Benzeneacetamide, α-[[[4-[(5-chloro-2-pyridinyl)oxy]-1-piperidinyl]sulfonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 210915-15-4 CAPLUS
CN Benzeneacetamide, 4-fluoro-α-[[[4-(4-fluorophenyl)-1-

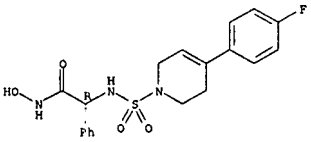
L4 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
piperidinyl]sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



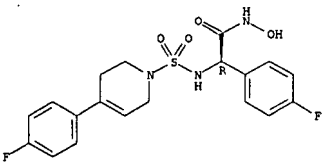
RN 210916-84-0 CAPLUS
CN Benzeneacetamide, α-[[[4-(4-fluorophenyl)-3,6-dihydro-1(2H)-pyridinyl]sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 210916-88-4 CAPLUS
CN Benzeneacetamide, 4-fluoro-α-[[[4-(4-fluorophenyl)-3,6-dihydro-1(2H)-pyridinyl]sulfonyl]amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

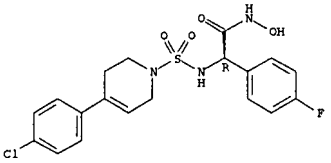
Absolute stereochemistry.



RN 210916-89-5 CAPLUS
CN Benzeneacetamide, α-[[[4-(4-chlorophenyl)-3,6-dihydro-1(2H)-pyridinyl]sulfonyl]amino]-4-fluoro-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



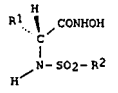
L4 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:268487 CAPLUS
DOCUMENT NUMBER: 128:321932
TITLE: Preparation of α-amino sulfonyl hydroxamic acids as matrix metalloproteinase inhibitors
INVENTOR(S): Warpehoski, Martha A.; Mitchell, Mark A.; Jacobsen, E. Jon
PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA; Warpehoski, Martha A.; Mitchell, Mark A.; Jacobsen, E. Jon
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817645	A1	19980430	WO 1997-US18235	19971020
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2268418	A1	19980430	CA 1997-2268418	19971020
AU 9748126	A	19980515	AU 1997-48126	19971020
EP 934267	A1	19990811	EP 1997-910851	19971020
EP 934267	B1	20020130		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
JP 2001503400	T	20010313	JP 1998-519424	19971020
AT 212619	T	20020215	AT 1997-910851	19971020
ES 2171905	T3	20020916	ES 1997-910851	19971020

PRIORITY APPLN. INFO.: US 1996-29585P P 19961022
WO 1997-US18235 W 19971020

OTHER SOURCE(S): MARPAT 128:321932
GI



I

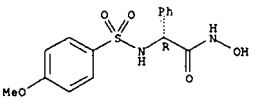
AB The title compds. I [R1 is iso-Pr, 2-methylbut-2-yl, Ph, benzyl, or 1H-indol-3ylmethyl; R2 is n-octyl, Ph, or Ph substituted with methoxy, fluoro, or bromo] are prepared. In an in vitro test for inhibition of gelatinase, N-hydroxy-2-(R)-[(benzenesulfonyl)amino]-3-methylbutanamide in vitro showed the Ki value of 0.082 μM.

IT 206758-46-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L4 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(prepn. of α-amino sulfonyl hydroxamic acids as matrix metalloproteinase inhibitors)

RN 206758-46-5 CAPLUS
CN Benzeneacetamide, N-hydroxy-α-[[(4-methoxyphenyl)sulfonyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:98031 CAPLUS
DOCUMENT NUMBER: 128:154002
TITLE: Preparation of 2-oxopyrrolidine-3-acetohydroxamic acids and analogs as matrix metalloproteinase inhibitors
INVENTOR(S): Jacobsen, E. Jon
PATENT ASSIGNEE(S): Pharmacia and Upjohn Co., USA
SOURCE: U.S., 87 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5712300	A	19980127	US 1997-811821	19970304
PRIORITY APPLN. INFO.:			US 1997-811821	19970304
OTHER SOURCE(S):	MARPAT 128:154002			

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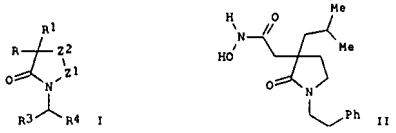


I II

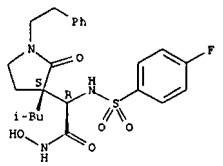
AB Title compds. [I; R = CR2R10CONHOH; R1 = H, (un)substituted alkyl, aryl, etc.; R2 = H, alkyl(amino), alkoxy, etc.; R3 = H, alkyl, aryl(alkyl), etc.; R4 = H or (un)substituted carbamoyl; R10 = H, OH, alkoxy, (alkyl)amino, etc.; Z1 = CH2, CO, (alkyl)imino, etc.; Z2 = CH2, (alkyl)imino, etc.] were prepared Thus, γ-butyrolactone was cyclocondensed with PhCH2CH2NH2 and the Me2CHCH2I-alkylated product condensed with BrCH2CO2CMe3 to give, in 2 addnl. steps, title compound II. Data for biol. activity of I were given.

IT 196951-47-0P 196951-51-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-oxopyrrolidine-3-acetohydroxamic acids and analogs as matrix metalloproteinase inhibitors)

RN 196951-47-0 CAPLUS
CN 3-Pyrrolidineacetamide, α-[[(4-fluorophenyl)sulfonyl]amino]-N-hydroxy-3-(2-methylpropyl)-2-oxo-1-(2-phenylethyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

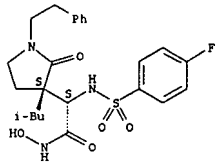
Absolute stereochemistry.

L4 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 196951-51-6 CAPLUS
CN 3-Pyrrolidineacetamide, α-[[(4-fluorophenyl)sulfonyl]amino]-N-hydroxy-3-(2-methylpropyl)-2-oxo-1-(2-phenylethyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:618069 CAPLUS
DOCUMENT NUMBER: 127:293126
TITLE: Pyrrolidinone hydroxamic acid derivatives for use in the treatment of diseases related to connective tissue degradation
INVENTOR(S): Jacobsen, E. Jon
PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA; Jacobsen, E. Jon
SOURCE: PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9732846	A1	19970912	WO 1997-US2568	19970303
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
TW 448172	B	20010801	TW 1997-86102076	19970221
IN 1997DE00513	A	20050311	IN 1997-DE513	19970227
CA 2244903	A1	19970912	CA 1997-2244903	19970303
CA 2244903	C	20060516		
AU 9720525	A	19970922	AU 1997-20525	19970303
AU 707180	B2	19990701		
EP 898562	A1	19990303	EP 1997-908674	19970303
EP 898562	B1	20030122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1210517	A	19990310	CN 1997-192171	19970303
BR 9707947	A	19990727	BR 1997-7947	19970303
NZ 330922	A	20000128	NZ 1997-330922	19970303
JP 2000506163	T	20000523	JP 1997-531784	19970303
RU 2168497	C2	20010610	RU 1998-118372	19970303
AT 231490	T	20030215	AT 1997-908674	19970303
PT 898562	T	20030630	PT 1997-908674	19970303
ES 2191823	T3	20030916	ES 1997-908674	19970303
ZA 9701902	A	19980907	ZA 1997-1902	19970305
NO 9804112	A	19981106	NO 1998-4112	19980907
NO 312956	B1	20020722		
PRIORITY APPLN. INFO.:			US 1996-13098P P	19960308
			WO 1997-US2568 W	19970303
OTHER SOURCE(S):	MARPAT 127:293126			

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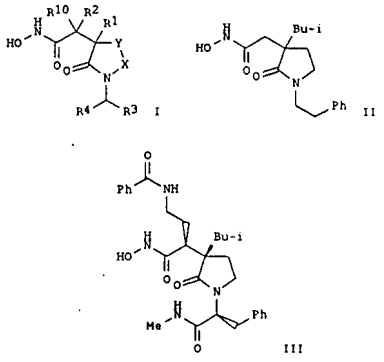
L4 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



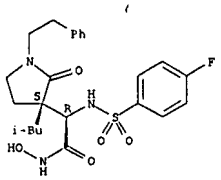
I II III

AB The invention provides novel hydroxamic acid derivs. I and their pharmaceutically acceptable salts [wherein X = CH2, NR5, CO; Y = CH2, NR5; provided that Y = CH2 when X = NR5; R1 = H, alkyl, (CH2)i-Ar, (CH2)iOR5, (CH2)i-Het, etc.; R2 = H, alkyl, (CH2)jOR5, NHR5, (CH2)jNR6R7, etc; R3 = H, alkyl, (CH2)j-Ar, (CH2)j-Het, (CH2)j-cycloalkyl, CONHR5; R4 = H, CONHR5, CONR6R7, other derivs. of CONH2, etc.; R5 = H, alkyl, (CH2)j-Ar, (CH2)j-Ar-Ar, (CH2)j-Ar-(CH2)j-Ar, (CH2)j-Het, (CH2)-cycloalkyl; R6, R7 = H, alkyl, (CH2)j-Ar, Q; or NR6R7 = (optionally alkyl-substituted) azetidinyl, pyrrolidinyl, piperazinyl, piperidinyl, or morpholinyl; R10 = H, OH, OR5, NHR5, (CH2)jOR5; Ar = (un)substituted Ph; Het = 5- or 6-membered N/O/S heterocycle; Q = saturated 5- or 6-membered N/O/S heterocycle; i = 1-6, j = 0-4]. I inhibit various enzymes from the matrix metalloproteinase family, including collagenase, stromelysin, and gelatinase, and are useful for the treatment of matrix metallo-endoproteinase diseases such as osteoarthritis, rheumatoid arthritis, septic arthritis, osteopenias such as osteoporosis, tumor metastasis (invasion and growth), periodontitis, gingivitis, corneal, dermal, and gastric ulceration, and other diseases related to connective tissue degradation For instance, 1-(2-phenylethyl)-2-pyrrolidinone underwent a sequence of lithiation with LDA and C-alkylation with iso-BuI (99%), a second alkylation with BrCH2CO2Bu-tert (68%), saponification with CF3CO2H (92%), and hydroxamidation with NH2OH.HCl using EDC and HOBT (31%), to give title compound II. The title compound III inhibited matrix metalloproteinases in vitro with Ki (μM) as follows: stromelysin 0.0105, gelatinase 0.00106, and collagenase 0.00069.

IT 196951-47-0P 196951-51-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

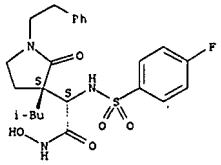
L4 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(prepn. of pyrrolidinone hydroxamic acid derivs. for treatment of connective tissue degrdn. diseases)
RN 196951-47-0 CAPLUS
CN 3-Pyrrolidineacetamide, α-[[(4-fluorophenyl)sulfonyl]amino]-N-hydroxy-3-(2-methylpropyl)-2-oxo-1-(2-phenylethyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 196951-51-6 CAPLUS
CN 3-Pyrrolidineacetamide, α-[[(4-fluorophenyl)sulfonyl]amino]-N-hydroxy-3-(2-methylpropyl)-2-oxo-1-(2-phenylethyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:513624 CAPLUS
DOCUMENT NUMBER: 127:162119
TITLE: Preparation of N-sulfonylamino acid derivatives as metalloproteinase inhibitors
INVENTOR(S): Watanabe, Fumihiko; Tsuzuki, Hiroshige; Ohtani, Mitsuaki
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9727174	A1	19970731	WO 1997-JP126	19970122
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2242416	A1	19970731	CA 1997-2242416	19970122
CA 2242416	C	20060321		
CA 2483020	A1	19970731	CA 1997-2483020	19970122
AU 9713195	A	19970820	AU 1997-13195	19970122
AU 715764	B2	20000210		
CN 1214041	A	19990414	CN 1997-193226	19970122
BR 9707010	A	19990720	BR 1997-7010	19970122
EP 950656	A1	19991020	EP 1997-900747	19970122
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HU 9903687	A2	20000328	HU 1999-3687	19970122
NZ 325939	A	20000623	NZ 1997-325939	19970122
SK 282995	B6	20030109	SK 1998-984	19970122
RU 2198656	C2	20030220	RU 1998-115659	19970122
EP 1486207	A2	20041215	EP 2004-21556	19970122
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JP 3628335	B2	20050309	JP 1997-526728	19970122
AT 359264	T	20070515	AT 1997-900747	19970122
TW 575547	B	20040211	TW 1997-86100862	19970127
TW 244474	B	20051201	TW 2003-92127003	19970127
TW 244475	B	20051201	TW 2003-92128956	19970127
NO 9803376	A	19980914	NO 1998-3376	19980722
NO 312665	B1	20020617		
US 6150394	A	20001121	US 1998-120378	19980722
US 6207698	B1	20010327	US 1998-120197	19980722
US 6919375	B1	20050719	US 1998-120383	19980722
US 6235768	B1	20010522	US 1999-307818	19990510
AU 738793	B2	20010927	AU 2000-30222	20000501
US 6441021	B1	20020827	US 2000-710904	20001114
JP 2001316254	A	20011113	JP 2001-69135	20010312
JP 3795339	B2	20060712		
US 2003139379	A1	20030724	US 2002-188115	20020703
US 6881727	B2	20050419		
US 2003225043	A1	20031204	US 2002-290245	20021108

L4 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

US 6838483	B2	20050104		
JP 2006232839	A	20060907	JP 2006-65044	20060310
PRIORITY APPLN. INFO.:			JP 1996-30082 A	19960123
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			CA 1997-2242416 A3	19970122
			EP 1997-900747 A3	19970122
			JP 1997-526728 A3	19970122
			WO 1997-JP126 W	19970122
			US 1998-120197 A3	19980722
			US 1998-120383 A1	19980722
			US 2000-710904 A3	20001114
			JP 2001-69135 A3	20010312

OTHER SOURCE(S): MARPAT 127:162119
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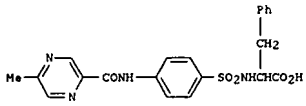
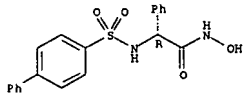


AB The title compds. R5R4R3SO2NR2CHR1COY [R1 = (un)substituted alkyl, aryl, aralkyl, heteroaryl, etc.; R2 = H, (un)substituted alkyl, etc.; R3 = single bond, (un)substituted arylene, etc.; R4 = single bond, CH:CH, C.tplbond.C, CO, CONH, N:N, NHCONH, NHCO, O, S, SO2NH, etc.; R5 = (un)substituted alkyl, cycloalkyl, etc.; Y = NHOH, OH; a proviso is given] are prepared The title compound (R)-I in vitro showed IC50 of 3.95 μM against MMP-9 (gelatinase B).
IT 193807-89-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonylamino acid derivs. as metalloproteinase inhibitors)
RN 193807-89-5 CAPLUS
CN Benzeneacetamide, α-[({1,1'-biphenyl]-4-ylsulfonyl)amino]-N-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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CA SUBSCRIBER PRICE	-17.94	-17.94

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NEWS 2	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 3	JAN 16	CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 4	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS 5	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6	JAN 22	CA/CAplus updated with revised CAS roles
NEWS 7	JAN 22	CA/CAplus enhanced with patent applications from India
NEWS 8	JAN 29	PHAR reloaded with new search and display fields
NEWS 9	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 10	FEB 15	PATDPASPC enhanced with Drug Approval numbers
NEWS 11	FEB 15	RUSSIAPAT enhanced with pre-1994 records
NEWS 12	FEB 23	KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13	FEB 26	MEDLINE reloaded with enhancements
NEWS 14	FEB 26	EMBASE enhanced with Clinical Trial Number field
NEWS 15	FEB 26	TOXCENTER enhanced with reloaded MEDLINE
NEWS 16	FEB 26	IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17	FEB 26	CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases
NEWS 18	MAR 15	WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19	MAR 16	CASREACT coverage extended
NEWS 20	MAR 20	MARPAT now updated daily
NEWS 21	MAR 22	LWPI reloaded
NEWS 22	MAR 30	RDISCLOSURE reloaded with enhancements
NEWS 23	APR 02	JICST-EPLUS removed from database clusters and STN
NEWS 24	APR 30	GENBANK reloaded and enhanced with Genome Project ID field
NEWS 25	APR 30	CHEMCATS enhanced with 1.2 million new records
NEWS 26	APR 30	CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 27	APR 30	INPADOC replaced by INPADOCDB on STN
NEWS 28	MAY 01	New CAS web site launched
NEWS 29	MAY 08	CA/CAplus Indian patent publication number format defined
NEWS 30	MAY 14	RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS 31	MAY 21	BIOSIS reloaded and enhanced with archival data
NEWS 32	MAY 21	TOXCENTER enhanced with BIOSIS reload
NEWS 33	MAY 21	CA/CAplus enhanced with additional kind codes for German patents
NEWS 34	MAY 22	CA/CAplus enhanced with IPC reclassification in Japanese patents

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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